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4.

SUBSTITUTED PYRAZOLE DERIVATIVE AND AGROHORTICULTURAL BACTERICIDE.

EP 0 556 396 A1

 \mathfrak{D} A novel substituted pyrazole derivative represented by general formula (1) and an agrohorticultural bactericide containing the same, wherein R¹ represents hydrogen, halogen; alkyl, alkoxy, alkylthio or haloalkyl; R² represents hydrogen, alkyl, haloalkyl, optionally substituted phenylalkyl, -COR⁵ or -SO₂R³; X represents -S(O)-0-2, -NR³-, -CO- or -CR⁴R⁵-; Y represents -O- or -S(O)0-2; A represents optionally substituted phenyl or heterocyclic group; and B represents optionally substituted pyridyl, diazinyl, 1, 3, 6- or 1, 3, 4- triazinyl or thiazolyl. The above compound is useful as an agrohorticultural bactericide, because it has an excellent agrohorticultural bactericidal action and is free from chemical injury against useful crops.

$$\begin{array}{c|c}
R^1 & & & & Y - A \\
N & & & & X - B \\
N & & & & & X - B
\end{array}$$

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TECHNICAL FIELD

The present invention relates to novel pyrazole derivatives and fungicides for agricultural and horticultural use containing the derivative(s) as an active ingredient.

BACKGROUND ART

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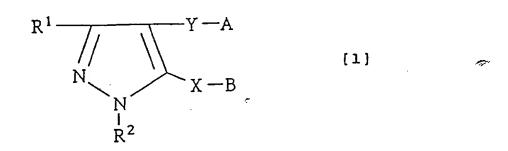
Various fungicides have heretofore been developed, but the potency of them could not be said to be always satisfactory due to appearance of resistant strains and other reasons.

Japanese Patent Application Laid-Open No. 1-125379 mentions that pyrazole derivatives of certain kinds have fungicidal activity.

The compounds as disclosed in the laid-open specification are not still satisfactory with respect to the potency, the residual effect and the phytotoxicity. Therefore, development of fungicides for agricultural and horticultural use, which are further more useful to plant diseases, is desired.

DESCRIPTION OF THE INVENTION

In view of the situation mentioned above, the present inventors repeatedly made various investigations so as to develop compounds having excellent fungicidal activity and, as a result, have found that substituted pyrazole derivatives of the following general formula (I) have excellent fungicidal activity. On the basis of the finding, they have achieved the present invention. Specifically, the present invention relates to substituted pyrazole derivatives of a general formula [1]:



where R¹ represents a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group or a haloalkyl group;

 R^2 represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenylalkyl group, $-COR^6$ or $-SO_2R^7$;

X represents -S-, -SO-, -SO₂-, -N(R³)-, -CO- or - C(R⁴)(R⁵)-;

R³ represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkylcarbonylalkyl group, an alkoxycarbonylalkyl group, a nitroso group, an amino group, an unsubstituted or substituted phenylalkyl group, -COR⁵ or -SO₂R⁵;

R⁴ and R⁵ independently represent a hydrogen atom, a halogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group or -OR⁸;

R8 represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkylcarbonylalkyl group, an alkoxycarbonylalkyl group, an unsubstituted or substituted phenylalkyl group, -COR6 or -SO₂R⁷;

R⁶ represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group, an unsubstituted or substituted phenylalkyl group, an alkoxy group or

$$-N<\frac{R^9}{R^{10}}$$

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R7 represents an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group or

$$-N<_{R^{10}}^{R^9}$$

R⁹ and R¹⁰ independently represent a hydrogen atom, an alkyl group or an unsubstituted or substituted phenyl group;

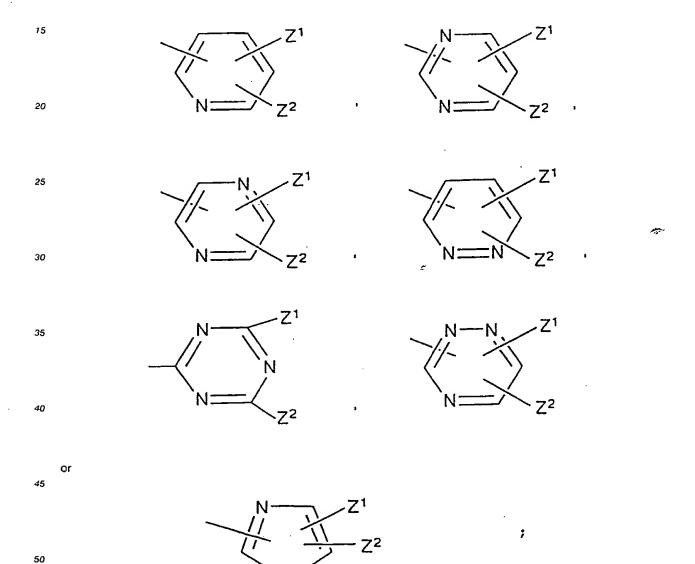
;

Y represents an oxygen atom, -S-, -SO-, or -SO₂-;

A represents an unsubstituted or substituted phenyl group or an unsubstituted or substituted heterocyclic group;

B represents

5



Z¹ and Z² independently represent a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group or a haloalkyl group;

and also to fungicides for agricultural and horticultural use containing the derivative(s) as an active ingredient.

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Next, compounds of formula [1] of the present invention are shown in Table 1 and Table 2. However, compounds of the present invention are not restricted to only them.

The compound number is referred to in the following description herein. In the tables, Ph indicates a phenyl group, i indicates iso-, and t indicates tertiary.

Table 1

In compounds of:

 R^1 N X-B N R^2

ľ	1						-					
B	B 1	B 1	. B	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	
M W	エ	=	=	4-C1	4 - C 1	4-61	4-CH ₈	4-CH ₈	4-C1	4-CH 8	4-61	
>	S	S	S	S	S	S	S	Š	S	S	so ·	
X	S	S	S	S	S	S	S	S	S	S	S	
R 2	cH3	CH 3	ch ,	cH s	ch.	®H0 €	CH.	CH3	н	Ħ	CF,	77
- -	Н	c H s	C.F.	Н	cH s	CF3	cH s	CF 8	CH 3	СНв	cH s	
Compound No.	1	2	တ	₽	വ	9	7	œ	6	1 0		
	R'R'X YW"	R' R' X Y W,, H CH, S S H	R' R' X Y W, H CH, S S H CH, CH, S S H	R' R' X Y W, H CH, S S H CH, CH, S S H CF, CH, S S H	R¹ R² X Y W " H CH³ S S H CH³ CH³ S S H H CH³ S S H H CH³ S S 4-C1	R¹ R² X Y W " H CH s S S H CH s CH s S S H CF s CH s S S A - C1 CH s S S A - C1 CH s S S A - C1 CH s S S A - C1	R ' R 2 X Y W " H CH 8 S S H CH 8 S S H CF 8 CH 8 S S H CF 8 CH 8 CF 8 CH 8 C 9 CH 8 C 10 D 10 C 11 D 10 C 12 D 10 C 12 D 10 C 12 D 10 C 14 D 10 C 15 D 10 C 16 D 10 C 17 D 10 D 10 D 10 D 10 D 10 D 2 D 10 D 3 D 10 D 4 D 11 D 10 D 10 D 2 D 10 D 3 D 10 <td>R 1 R 2 X Y W n H CH 8 S S H CH 8 CH 8 S S H CF 8 CH 8 S S H - C 1 CH 9 CH 8 S S 4 - C 1 CH 9 CH 8 S S 4 - C 1 CH 9 CH 8 S S 4 - C 1 CH 9 CH 8 S S 4 - C 1</td> <td>R I R 2 X Y W n H CH 8 S Y W n CH 8 CH 8 S S H CF 8 CH 8 S S H - C 1 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1</td> <td>R¹ R² X Y Wn H CH³ S Y Wn CH³ CH³ S Y Wn CH³ S S H CH³ S S H CI CH³ CH³ S S 4-C1 CH³ CH³ S S 4-C1 CH³ CH³ S S 4-CH³ CH³ CH³ S S 4-CH³ CH³ S S S CH³</td> <td>R¹ R² X Y W " H CH³ S S H CH³ CH³ S S H CF³ CH³ S S H – C1 CF³ CH³ S S 4 – C1 CF³ CH³ S S 4 – CH³ CF³ CH³ S S 4 – CH³ CH³ H S S 4 – CH³ CH³ H S S 4 – CH³</td> <td>R ' R 2</td>	R 1 R 2 X Y W n H CH 8 S S H CH 8 CH 8 S S H CF 8 CH 8 S S H - C 1 CH 9 CH 8 S S 4 - C 1 CH 9 CH 8 S S 4 - C 1 CH 9 CH 8 S S 4 - C 1 CH 9 CH 8 S S 4 - C 1	R I R 2 X Y W n H CH 8 S Y W n CH 8 CH 8 S S H CF 8 CH 8 S S H - C 1 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1 CF 8 CH 8 S S 4 - C 1	R¹ R² X Y Wn H CH³ S Y Wn CH³ CH³ S Y Wn CH³ S S H CH³ S S H CI CH³ CH³ S S 4-C1 CH³ CH³ S S 4-C1 CH³ CH³ S S 4-CH³ CH³ CH³ S S 4-CH³ CH³ S S S CH³	R¹ R² X Y W " H CH³ S S H CH³ CH³ S S H CF³ CH³ S S H – C1 CF³ CH³ S S 4 – C1 CF³ CH³ S S 4 – CH³ CF³ CH³ S S 4 – CH³ CH³ H S S 4 – CH³ CH³ H S S 4 – CH³	R ' R 2

		1	ı											
5		æ	B 1	B	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 2	B 2	ı
10			}											
15		W "	4-CH s	4 - CP 8	4-Br	4-N0 ₂	4-0CH ₈	4-C2H6	2-01	2-61, 4-61	2-C1, 4-CH ₈	4-01	4-01	
20	nued)	×	S	S	S	S	S	S	S	S	S	S	S	
25	1 (continued)	×	တ	S	S	S	S	S	S	S	S	S	S	
30	Table	R 2	CF 8	ch s	CH 3	CH 3	ch,	cH3	CH 8	ch s	ch s	cH s	CH 3	77
35			СНа	c H s	c H s	CH &	cH s	e H o	c H s	c H s	CH3	ch.	CP s	
40		Compound No.	1 2	1 8	1 4	1 5	1 6	1 7	1 8	1 9	2 0	2 1	2 2	

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i		д	B 2	B 2	B 2	B 2	B 2	B 2	B 2	B 2	B 1	B 1
o	:											
i,	•	, W	4 - CH 8	4 - CH 8	2-01, 4-01	2-C1, 4-CH ₈	4-C1	4-CH 8	4 - CH s	3-C1, 4-CH	н	4-61
	tinued	¥	S	S	S	S	0	0	0	0	S	S
	Table 1 (continued)	×	S	S	S	S	S	S	S	S	S	S
	Table	ය	cH s	CH 8	CH 3	сна	cH s	cH s	ch,	ch s	c H s	cH s
•		- ⊯	CH s	CF s	CH 3	СНз	c H s	cH s	CF s	CH 3	C ₂ H ₆	C ₂ .H ₆
	-	No.										
		Compound No.	2 8	2 4	2 2	2 6	2 7	8	5 9	3 0	3 1	3 2

								-					
_			-	. 🗝		_		_	_	-	-	-	
5		В		В	В	В	æ	В	æ	æ	Д	В	
	:												
10								80					
		b		T	•	-C1	-C1	HJ-		_	8		
		a	4-CH 8	4-0CH	3-CF3	. 4	. 4	4-	4-61	4-CH3	4-0CH	4-61	
15		W	4-	4	က်	2-C1, 4-C	3-61, 4-61	2-C1, 4-CHs	4-	4-	4-	4-	
	~					2	က	2					
20	ned	≻	တ	S	S	S	S	S	S	S	S	S	
	ıtir												
	1 (continued)	×	S	S	S	S	S	S	S	S	S	S	
25													
	Table	. ~			83	60	80	m		60			
	Та	24	CH 8	CH 3	CH 3	CH 8	CH 3	CH 3	CH 3	CH a	СНз	CH3	177
30			ıω	1 0	10	ω.	. <i>E</i> 10	ю	-	t- .	6	æ	
		R. 1	C 2 H s	C ₂ H ₅	C 2 H s	C ₂ H ₆	C 3 H s	C 2 H 5	- C , H ,	i-CaH7	i-C,H,	t - C 4 H 9	
35								_	j - (i - (j - (t-(
		N E											
		onuc	က	4	2	9	7	∞	6	0		2	
40		Compound No.	. တ	တ	က	တ	က	က	က	4	4	4	
	1	ပ											ı

5 .		æ	B 1	- 1 20 - 1	B 1	B 1	B 1	В 1	B 1	B 1	B 1	B 1
10												
15		W »	4-CH ₈	4-0CH ₃	4-01	4-61	ж	m	4-01	4-01	4-61	4-CH3
20	inued)	≯	S	S	S	S	S	S	S	S	S	S
25	Table 1 (continued)	×	S	S	တ	S	HN	NH	H N	HN	Ħ	HZ
30	Table	R 2	°H)	CH s	C 2 H &	C a H 7	ch s	cH s	в но	CH 3	¢ H O	° НЭ
35	·	No. R 1	t-C4Hº	t - C 4 H 9	CH s	ch,	CH s	CF	CH 3	CPs	m	cH s
40		Compound No.	4 3	4 4	4 5	4 6	4 7	4 8	4 9	2 0	.T	5 2

								•					1
5		В	B 1	B 1	B 1	B 1	B 1	B	B 1	B 1	B 1	B 1	
10													
15		W "	4-CH ₈	4 – CH s	4 - F	4-Br] - þ	2-F	2-01	2-Br	2-[3 - F	
20	inued)	Υ	S	S	S	S	S	S	S	S	တ	တ	-
25	1 (continued)	×	NH	NH	NH	HN	HN	HN	HN	HN	HN	HN	
30	Table	7	CH s	c H s	CH3	ch.	CH s	CH 3	CH3	CH 3	CH s	ch s	-
35		o. "	CF,	æ	CH 3	CH3	CH 3	CH s	CH 3	cH _s	CH 8	CH 8	
40	Ú	Compound No.	5	5 4	2	9 2	5 7	2	2 3	0 9	6 1	6 2	

5 10 20	Table 1 (continued)	X Y W, B	NH S 3-C1 B 1	NH S 3-Br B 1	NH S 3-I B 1	NH S 2-0CH; B 1	NH S 3-0CH ₈ B 1	NH S 4-0CH ₈ B 1	NH S 2-CP ₈ B 1	NH S 3-CP, B 1	NH S 4-CF, B 1	NH S 4-C ₂ H ₆ B 1
30	Table 1	R 2	CH.	CH 8	cH3	ch.	cH a	° CH 8	CH 3	cH s	cH s	CH.
35		10. R 1	CHs	cH s	ch.	CH s	CH 8	CH 3	ch s	сн.	cH s	cH ₃
40		Compound No.	8 8	6 4	6 5	9 9	2 9	8 9	6 9	0 2	7 1	7 2

5		A	. B 1	B.	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	
10		-	<u>-</u> -	83	, 8 H 7	4 H 8	_ ∞		, sa				
15		M w	4-C _a H ₇	4-C4H8	4-i-C ₈ H ₇	4-t-C4H8	2-0CF ₃	3-0CF	4-0CF ₈	3-N0 ₂	4-N0 ₂	3-NH ₂	
20	cinued)	¥	S	S	S	S	S	S	S	S	S	S	
25	1 (continued)	×	HN	NH	NH	NH	HN	NH	NH	HN	HN	HN	
30	Table	አ 2	CH s	CH 3	CH s	ch s	CH s	ch s	CH 3	CH.	CH 3	CH 3	
35	:	No. R 1	CH 3	cH s	cH s	ch s	CH.	CH s	CH.	CH 3	CH 3	CH 8	
40		Compound No.	7 8	7 4	7 5	9 2	7 7	7 8	7 9	0 8	8 1	8	

R ' R 2 СИ 6 СИ 6 СИ 6 СИ 6 СИ 6 СИ 6 СИ 6 СИ 6 СИ 6 СИ 6		NH S HN	S S S	W n 4-NH2 4-NHC0CH8 4-NHS02CH8	B B B B B B B B B B B B B B B B B B B
	7. 2. Н. 3. Н. 8. Н. 8.	NH HN	× × ×	0 C H s	B B B B B B B B B B B B B B B B B B B
- , 	.Н. в Н. в Н. в	HN HN NH	တ တ တ	осн.	B B B B B B B B B B B B B B B B B B B
, T	* * * # # #	HN HN	တ တ		B 1 B 1
ę.	8 Н 8	H H	S		. B 1 B 1
•	B H	HN			B 1
*			S	4-NHCOCF ₈	
	H H	HN	S	4-NHSO2CF8	B 1
	H s	HN	S	4-Ph	B 1
сн, сн	ch.	HN	S	4-0Ph	B 1
сн, сн		HN	S	4-0CF2CF2H	B 1
CH3 CH3		HN	S	4-C0CH ₈	B 1
сн в сн		HN	S	4-NHCOPh	B 1

		Table	Table 1 (continued)	tinued)			
Compound No.	R 1	R 2	×	>	W	æ	
8 6	CH 8	ch,	HN	S	4-NHC00CH8	<u>.</u> <u>eq</u> .	-
9 4	cH s	CH 8	HN	S	4-NHCON(CH ₈) ₂) 2 B.	·
9 5	CH s	CH B	NH	S	2-01, 3-01	B	
9 6	CH 3	CH 3	NH	S	2-61, 4-61	В	~
9 7	CH a	ch s	HN	S	2-61, 5-61	B	-
8 6	CH 3	ch,	HN	S	2-61, 6-61	В	
6 6	cH3	CH 3	HN	S	3-61, 4-61	A	-
0 0	cH s	c H _s	HN	S	3-61, 5-61	В	
0 1	c H s	cH s	HN	S	2-CH ₈ , 3-CH ₈	В	-
0 2	cH3	CH 8	HN	S	2-CH3, 4-CH3	В	_

i		a	. B	B T	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1
0		£	5-CH3	6 - CH 9	4-CH 8	5-CH3	• НЭ-	4 - CH 8	-CH s	в H Э –	5-CH ₈	3-01
5	(M	2-CH ₈ , 5-CH ₈	2-CH3, 6-CH3	3-CH 8,	3-CH 8,	2-C1, 3-CH ₈	2-61, 4	2-C1, 5-CH ₈	3-C1, 4-CH	3-61,5	2-CH ₈ , 3-C
	ntinued	> -	S	S	S	S	S	S	S	S	S	S
	Table 1 (continued)	×	HN	H	HN	NH	H	HN	HN	HN	HN	HN
	Tab]	~	ch s	cH s	CH a	CH 8	cH s	CH 3	CH 3	cH s	CH.	CH 8
	•	- ~	CH 8	c H s	cH3	c H s	cH3	енэ	8 HO	cH s	CH 8	CH &
	·	No.										
		Compound No.	80	0 4	0 2	9 0	2 (&	6 (0		2
		Сош			1	1 (1 0	1 0	1 0	1 1	1	1 1

40	35	30	25	20	10	5
		Table		(continued)		i
Compound No.	~	5	×	Y	, W	В
8 1	ch s	c H s	H H	S	2-CH ₈ , 4-C1	B 1
4	CH 8	CH 8	HN	S	2-CH ₈ , 5-Cl	B 1
പ	°H3	CH.	HN	S	2-F, 3-F	B 1
9	ch s	CH 8	HN	S	2-F, 4-F	B 1
1 1	cH3	CH.	HN	တ	2-F, 5-F	B 1
&	в но	ch,	HN	S	2-F, 6-F	B 1
63	CH3	CH.	H	S	2-F, 3-C1	B 1
2 0	CH a	ch s	HN	S	2-F, 4-C1	B 1
2 1	CH 3	CH 8	HN	S	2-F, 5-C1	B 1
2 2	c H _s	CH 8	HN	S	2-F, 6-C1	B 1

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								٠					- 1
5		В	. B. 1	B .	B	. B	B 1	B 1	B 1	B 1	B 1	B 1	
10			3-CH s	4~CH8	3-Br	4-Br	4-61	5-01	4-CH s	5-CH ₈	4-01	4-Br	
15		M M	2-F, 3	2-F, 4	2-F, 3	2-F, 4	3-F, 4	3-P, 5	3-P, 4.	3-F, 5	2-Br,	2-Br,	
20	cinued)	>-	S	S	S	S	S	S	ဟ	လ	S	S	
25	Table 1 (continued)	×	HN	HN ·	NH	HN	NH	HN	HN	HN	HN	HN	
30	Table	R 1	СНв	c H s	CH 8	CH 8	cH a	CH 3	ch s	CH 3	CH3	c H _a	
35		R -	c H s	CH &	CH 3	CH 8	CH3	CH 8	CHs	CH3	CH 3	CH &	
40		Compound No.	တ	4	2	9	_	∞	6 3	0		2	
	,	Comp	1 2	1 2	1 2	1 2	1 2	1 2	1 2	1 3	1 3	 3	

	40	35	30	25	20	15	. 10	5
			Table 1	1 (continued)	nued)			
Comp	Compound No.	- M	R 2	×	7	W a		a
1 3	တ	CH 3	CH a	HN	S	2-Br, 4-CH,	ø	.B 1
1 3	4	CH a	CH 3	HN	S	3-Br, 4-Cl	٠	B 1
1 3	വ	c H s	ch,	HN	S	3-Br, 4-Br		B 1
1 3	9	CH 8	ch,	HN	S	3-Br, 4-CH ₈	6 0	B · 1
 	7	CH B	CH.	HN	S	2-C1, 4-Br		B 1
1 3	∞	CH &	CH,	HN	S	3-C1, 4-Br		B 1
1 3	G	CH 8	ch.	NH	S	2-C1, 4-I		B 1
1 4	0	CH 8	ch.	HN	S	3-C1, 4-I		B 1
1 4		cH s	CH 8	H	S	3-F, 4-Br		B 1
1 4	2	CH3	ch,	NH	S	3,4-0CH ₂ 0-	ı	B 1

		щ	C1 B 1	C1 B 1	8r B 1	Br B 1	2 B 1	2 B 1)2 B 1)2 B 1	1s B 1	4s B 1
5		W a	2-CFs, 3-C1	2-CP _{8.4} -(2-CF ₈ , 3-1	2-CF ₈ , 4-	2-F, 4-NO;	3-F, 4-NO;	2-C1, 4-NO	3-C1, 4-N0 ₂	2-F, 4-0CH ₈	3-P, 4-0CH ₈
?O	tinued)	≯	S	S	S	S	S	S	S	S	တ	S
5	Table 1 (continued)	×	HN	HN	HN	HN	HN	HN	NH	HN	HN	HN
)	Table	™	CH 8	ch s	СНз	ch s	CH 3	c H _s	CH 3	ch s	ch s	CH 8
i i		- ط	cH _s	CH 3	CH 3	cH3	cH s	CH 3	c H 3	cH3	CH 3	ch,
)		Compound No.	1 4 8	144	1 4 5	9 7	1 4 7	4 8	4 9	2 0	5 1	2 2

5		В	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1
0		/ n	2-C1, 4-OCHs	4-0CH s	8,4-0CH8	3-0CH ₈ , 4-0CH ₃	C1, 4-C1	c1, 5-c1	C1, 6-C1	C1, 5-C1	3-C1, 4-CH ₈	C1, 4-Br
5	(W	2-C1,	3-01,	2-0CH ₈ ,	3-0CH	2-01, 3-01, 4-01	2-61, 4-61,	2-61, 4-61,	3-61, 4-61,	2-61, 3-	2-01, 3-01, 4-
20	inued	>	S	S	S	S	S	S	S	S	S	S
5	Table 1 (continued)	×	HN	NH	NH	HN	HN	· HN	NH	NH	H	HN
o	Table	요 2	CH s	сня	CH s	cH s	снв	CH 8	° HO	CH 3	c H _s	ch3
5	·	- W	CH s	cH s	CH 8	CH 3	CH s	CH &	CH 8	CH 3	ch s	CH.
)		Compound No.	က	5 4	2	2 6	5 7	2 8	ე მ	0 9	6 1	8 2
		l Ö	-	-	_	-	-	_		_	-	_

5		В	B 1	В	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1
10		W "	4-C1	4-61	4-61	4-01	4-61	4-61	4-01	4-61	4-61	4-01
15		Ϋ́	S	S	S	S	S	S	S	S	S	S
20 25	Table 1 (continued)	×	NC2Hs	NC 8 H 7	NCH 2 OCH 8	NCH 2 CH 2 O CH 8	NCH 2 CH = CH 2	NCH 2 C ≡ CH	NCH 2 COCH 8	NCH 2 COOCH 8	NCH 2 COOC 2 H 5	NCH ₂ CN
30	Tab	ж •	CH 3	CH3	CH 3	ch s	CH3	ch.	CH 3	CH s	CH 3	c H s
35		No. R 1	CH 3	CH 8	° H O	CH3	CH3	CH 3	CH 3	CH 8	CH 8	CH.8
40		Compound	1 7 3	1 7 4	1 7 5	1 7 6	1 7 7	1 7 8	1 7 9	1 8 0	1 8 1	1 8 2

		1	1					*.				
5		e B	B 1	B 1	B 1	B 1	B 1	B 1	B 2	B 2	B 1	B 1
· •		M ,	4-CI	4-61	4-61	4-61	4-01	4-01	4-61	4-01	4-61	2-C1, 4-C1
15		7	S	S	S	S	S	S	S	S	S	S
20 25	Table 1 (continued)	X	NCH 2 P h	NCH ₂ C ₆ H ₄ -4-C ₁	NCH ₂ C ₆ H ₄ -4-CH ₈	NCH2CH2Ph	NSO 2 CH 8	NSO ₂ N(CH ₈) ₂	NCHO	NCH 8	H	HN
ю	Tab	8	снв	CH 3	CH 8 N	CH 3	cH _s	CH 3	°H)	°H2	=	æ
5		- ∝	c H _s	CH 8	ch,	c H s	cH s	c H _a	c H _a	¢ H O	ch s	в но
o		Compound No.	8 8	8 4	8 5	9 8	8 7	8 8	8 3	0 6	9 1	9 2
		Ö		_	\leftarrow		-	-	-		-	-

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5	٠	В	В 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	В 1
10	(W a	3-C1, 4-CH ₈	4-01	4-Br	2-61, 4-61	2-C1, 4-CH ₈	4-61	4-Br	2-61, 4-61	2-C1, 4-CH ₈	4-C1
20	Table 1 (continued)	¥	S	S	S	S	S	S	S	S	S	S
25	1 (co	×	HN	NH	NH	H	NH	HN	H N	NH	NH	HN
30	rable	R 2	-	C ₂ H ₅	C ₂ H ₆	C ₂ H ₆	CaHs	i - C , H ,	i-C,H,	i-C ₈ H ₇	1-C ₈ H ₇	t-C4H9
	•	٦ 1	CH 8	CH 3	CH 3	CH3	CH 3	c H.s	CH 3	CH 3	CH3	CH 3
35		und No.	က	4	ນ	9	1	æ	6	0	 4	2
40		Compound	1 9	1 9 4	1 9	1 9 (1 9 7	1 9 8	1 9 9	2 0 (2 0 1	2 0 2

	1										1
B	B 1	B 1	B 1	B 1	B 1	B 1	B 2	B 2	B 2	B 2	
	1-01	-CH3			1-01	-CH3		-01			
M n	2-61,	3-61,	4 - C I	4-Br	2-61,4	3-01,4	4-61	2-61, 4	4-01	4 - C 1	
7	S	S	S	S	S	S	S	S	S	S	
×	NH	NH	HN	HN	II N	HN	HN	HN	HN	HN	
z Z	t - C 4 H 9	t-CaH9	CF ,	CF s	CP.	CP _s	H	H	C ₂ H ₆	i - C ₈ H ₇	
- 04	cH s	cH s	CH s	c H s	CH 8	CH 3	CH 3	ch s	°H2	cH 3	
Compound No.	2 0 3	2 0 4	2 0 5	2 0 6	2 0 7	2 0 8	2 0 9	2 1 0	2 1 1	2 1 2	
	R'R'X Y W"	No. R' R ² X Y W., CH ₈ t-C ₄ H ₉ NH S 2-C1, 4-C1	No. R ' R 2 X Y W " CH 1 t - C 4 H 3 NH S 2 - C 1, 4 - C 1 CH 2 t - C 4 H 3 NH S 3 - C 1, 4 - C H 3	No. R' R' X Y W, CH, t-C4H, NH S 2-C1, 4-C1 CH, t-C4H, NH S 3-C1, 4-CH, CH, CF, NH S 4-C1	No. R 1 R 2 X Y W n. CH 2 t - C 4 H 3 NH S 2 - C 1, 4 - C 1 CH 3 t - C 4 H 3 NH S 3 - C 1, 4 - C H 3 CH 3 CF 3 NH S 4 - C 1 CH 3 CF 3 NH S 4 - B I	No. R 1 R 2 X Y W n. CH 8 t-C4H 9 NH S 2-C1, 4-C1 CH 8 t-C4H 9 NH S 3-C1, 4-CH 9 CH 8 CF 8 NH S 4-C1 CH 9 CF 8 NH S 4-Br CH 9 CF 8 NH S 2-C1, 4-C1	No. R' R² X Y W _n CH ₈ t-C ₄ H ₉ NH S 2-C1, 4-C1 CH ₈ t-C ₄ H ₉ NH S 3-C1, 4-CH ₉ CH ₈ CF ₈ NH S 4-C1 CH ₈ CF ₈ NH S 4-Br CH ₈ CF ₈ NH S 2-C1, 4-C1 CH ₈ CF ₈ NH S 3-C1, 4-C1	No. R 1 R 2 X Y W B B CH 2 CH 3	No. R¹ R² X Y W n B CH s t - C4H s NH S 2 - C1, 4 - C1 B CH s t - C4H s NH S 2 - C1, 4 - C1 B CH s CF s NH S 4 - Br B CH s CF s NH S 2 - C1, 4 - C1 B CH s CF s NH S 2 - C1, 4 - C1 B CH s CF s NH S 2 - C1, 4 - C1 B CH s H NH S 2 - C1, 4 - C1 B CH s H NH S 2 - C1, 4 - C1 B CH s H NH S 2 - C1, 4 - C1 B	No. R¹ R² X Y Wn B CH³ t-C₄H³ NH S 2-C1, 4-C1 B CH³ t-C₄H³ NH S 3-C1, 4-C1 B CH³ CF³ NH S 4-C1 B CH³ CF³ NH S 2-C1, 4-C1 B CH³ CF³ NH S 2-C1, 4-C1 B CH³ CF³ NH S 4-C1 B CH³ H NH S 2-C1, 4-C1 B	No. R¹ X Y Wn. B CH³ t-C₄H³ NH S 2-C1,4-C1 B CH³ t-C₄H³ NH S 3-C1,4-C1 B CH³ CF³ NH S 4-C1 B CH³ CF³ NH S 2-C1,4-C1 B CH³ CF³ NH S 4-C1 B CH³ H NH S 2-C1,4-C1 B CH³

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5		В	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1
10				4-61	4-01		4 - C 1	4 - CH 8			4-C1	4 - CH 8
15		W	4 - B r	2-61,	2-01,	4-61	2-61,	3-61,	4-61	4-Br	2-01,	3-C1, 4-CH ₈
20	Table 1 (continued)	Ϋ́	S	S	S	S	တ	S	S	S	S	S
25	1 (con	×	HN	NH	NCHO	H	NH	HN	HN	H N	HN	HN
30	Table	조 2	°HOOO	8 H O O O	RHOOO	SO2CH8	SO CH &	SO2CH3	CONHCH &	CONHCH	CONHCH 8	CONHCH
35		ж -	CH 8	CH 3	CH a	CH 3	cH s	CH 8	CH 3	CH 3	CH 3	CH 8
40		Compound No.	2 2 3	2 2 4	2 2 5	2 2 6	2 2 7	2 2 8	2 2 9	2 3 0	2 3 1	2 3 2

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Table 1 (continued) Compound No. R¹ R³ X Y Wa B 2 3 3 CH³ CH³Ph NH S 4-C1 B 2 2 3 4 CH³ CH³Ph NH S 2-C1,4-C1 B 2 2 3 5 CH³ COCH³ NH S 4-C1 B 2 2 3 6 CH³ CONHCH³ NH S 4-C1 B 2 2 3 6 CH³ SO²CH³ NH S 4-C1 B 2 2 3 7 CH³ SO²CH³ NH S 4-C1 B 2 2 3 8 CH³ CH³ NH S 2-C1,4-C1 B 1 2 4 0 H CH³ NH S 2-C1,4-C1 B 1 2 4 1 H CH³ NH S 2-C1,4-C1 B 1 2 4 1 H CH³ NH S 2-C1,4-C1 B 1 2 4 1 H CH³ NH S 2-C1,4-C1	40		35	30	25	20	15		5
R¹ R³ Y Wa B CH³ CH³Ph NH S 4-C1 B CH³ CH²Ph NH S 2-C1, 4-C1 B CH³ COCH³ NH S 4-C1 B B CH³ COCH³ NH S 4-C1 B B CH³ SO²CH³ NH S 4-C1 B B H CH³ NH S 2-C1, 4-C1 B B				Table	1 (con	tinued)			-
CH ₈ CH ₂ Ph NH S 4-C1 B CH ₈ CH ₂ Ph NH S 2-C1, 4-C1 B CH ₈ COCH ₈ NH S 4-C1 B CH ₈ COCH ₈ NH S 4-C1 B CH ₈ SO ₂ CH ₈ NH S 4-C1 B CH ₈ SO ₂ CH ₈ NH S 2-C1, 4-C1 B CH ₈ CH ₈ NH S 2-C1, 4-C1 B CH ₈ NH S 3-C1, 4-CH ₈ B CH ₈ NH S 3-C1, 4-CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ B CH ₈ NH S 4-C1 B CH ₈ CH ₈ NH S 5 4-C1 CH ₈ B CH ₈ CH ₈ NH S 6 4-C1 B CH ₈ CH ₈ NH S 6 4-C1 B CH ₈ CH ₈ NH S 6 4-C1 B CH ₈ CH ₈ NH S 6 4-C1 CH ₈ B CH ₈ CH ₈ NH S 6 4-C1 B CH ₈ CH ₈ CH ₈ NH S 6 4-C1 B CH ₈ CH ₈ CH ₈ NH S 6 4-C1 B CH ₈ CH ₈ CH ₈ NH S 6 4-C1 B CH ₈ CH ₈ CH ₈ CH ₈ NH S 6 4-C1 B CH ₈	punc	No.			×	> -	W "	В	
CH ₈ CH ₂ Ph NH S 2-C1, 4-C1 B S CH ₈ COCH ₈ NH S 4-C1 B S CH ₈ SO ₂ CH ₈ NH S 4-C1 B S CH ₈ SO ₂ CH ₈ NH S 2-C1, 4-C1 B B H CH ₈ NH S 2-C1, 4-C1 B B H CH ₈ NH S 2-C1, 4-C1 B B CF ₈ CH ₈ NH S 2-C1, 4-CH ₈ B B	က		снв	CH 2 Ph	HN	တ	4-01	m.	2
CH ₈ COCH ₈ NH S 4-C1 B CH ₈ CONHCH ₈ NH S 4-C1 B CH ₈ SO ₂ CH ₈ NH S 4-C1 B CH ₈ NH S 2-C1, 4-C1 B H CH ₈ NH S 3-C1, 4-CH ₈ B CF ₈ CH ₈ NH S 4-C1 B	4		e H 3	CH2Ph	HN	S	2-61, 4-61	· M	. 2
CH 8 CONHCH 8 NH S 4-C1 B CH 8 SO 2 CH 8 NH S 4-C1 B CH 8 SO 2 CH 8 NH S 2-C1, 4-C1 B H CH 8 NH S 2-C1, 4-C1 B H CH 8 NH S 2-C1, 4-C1 B H CH 8 NH S 2-C1, 4-CH 8 B CF 8 CH 8 NH S 4-C1 B	ស		ch s	8 H O O O	HN	S	4-C1	В	2
CH 8 SO 2 CH 8 NH S 4-C1 B CH 8 SO 2 CH 8 NH S 2-C1, 4-C1 B H CH 8 NH S 4-C1 B H CH 8 NH S 2-C1, 4-C1 B H CH 8 NH S 3-C1, 4-CH 8 B CF 8 CH 8 NH S 4-C1 B	9		cH s	CONHCH	HN	S	4-C1	B	2
CH ₈ SO ₂ CH ₈ NH S 2-C1, 4-C1 B H CH ₈ NH S 4-C1 B H CH ₈ NH S 2-C1, 4-C1 B H CH ₈ NH S 3-C1, 4-CH ₈ B CF ₈ CH ₈ NH S 4-C1 B	2		CH 3	S.O.2 CH 8	NH.	S	4-61	æ	2
H CH ₈ NH S 4-C1 H CH ₈ NH S 2-C1, 4-C1 H CH ₈ NH S 3-C1, 4-CH ₈ CF ₈ CH ₈ NH S 4-C1	œ		CH3	SO CH &	NH	S	2-61, 4-61	B	2
H CH ₈ NH S 2-C1, 4-C1 H CH ₈ NH S 3-C1, 4-CH ₈ CF ₈ CH ₈ NH S 4-C1	6		×	CH.	HN	S	4-C1	B	
H CH ₈ NH S 3-C1, 4-CH ₈ CF ₈ CH ₈ NH S 4-C1	0		H	CH3	HN	S	2-61, 4-61	B	_
CFs CHs NH S 4-C1	-		H	CH3	HN	S	3-C1, 4-CH ₈	В	_
	7		CF3	CH 3	NH	S	4-61	В	_

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	Tabl	Table 1 (continued)	tinued)			
Compound No. R 1	· 요	×	7	M »	В	
CF.	CH 8	HN	တ	4-Br	B 1	
CF	¢H)	HN	S	2-61, 4-61	B 1.	
CF3	CH 8	HN	S	2-C1, 4-CH ₈	B 1	
C ₂ H ₅	ен э	HN	S	4-61	B 1	
C2Hs	в но	HN	S	4-Br	B 1	
C ₂ H ₆	cH ₈	·HN	S	2-61, 4-61	B 1	*.
C ₂ H ₅	c H _s	HN	S	2-C1, 4-CH ₈	B 1	
i - C 8 H 7	CH 8	NH	S	4-01	B 1	
i - C a H 7	CH3	HN	· So	2-01, 4-01	B 1	
i-C ₈ H ₇	CH 3	HN	S	3-C1, 4-CH ₃	д -	

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			Tab]	Table 1 (continued)	ן טיווען די			
					,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,			•
Comp	Compound No.	No. R 1	۲ 2	×	Y	M n	Ω.	
2 5	က	t-C4H9	CH 3	HN	S	4-C1	æ	
2 5	4	t - C 4 H 9	ch,	HN	S	4-Br	. B	. 🛶
2 5	2	t-C4H8	в но	HN	တ	2-01, 4-01	. ф	_
2 5	9	t - C 4 H s	cH s	HN	S	3-C1, 4-CH ₈	В	_
2 2	7	æ	CH 8	HN	Ś	4-61	В	2
2	∞	ж	CH 3	HN	S	2-61, 4-61	В	2
2 5	6	C 2 H 5	ch,	HN	S	4-61	В	2
2 6	0	i - C 8 H 7	CH 3	HN	S	4-01	A	2
2 6		ر بع د	CH 8	HN	S	4-61	B S	2
2 6	2	CPs	cH,	HN	S	2-61, 4-61	B	2

5		B	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1
10		æ į	C1	2-01, 4-01	3-C1, 4-CH ₈	0.1	Вг	2-61, 4-61	2-C1, 4-CH ₈	10	3 r	2-61, 4-61
15		M	4-01	2-	က	4-61	4-Br	2-(2-(4-61	4-Br	2-(
20	ıtinued)	X	S	S	S	S.	S	S	S	S	S	S
25	Table 1 (continued)	×	NH	HN	HN	NCHO	HN	NCHO	NCHO	HN	HN	HN
30	Tab1	ж •	cH s	CH3	CH 3	ch s	cH s	° H3	ch,	c H s	cH _s	CH s
35		No. R 1	6.1	C 1	C 1	C1	C 1	C 1	. 01	CH & O	CH , O	0 H H O
40		Compound No.	8	4	വ	9	2	&	6	0		23
70		Comp	2 6	2 6	2 6	2 6	2 6	2 6	2 6	2 7	2 7	2 7

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5		В	В	Ë	В	В	В	В	В	В	В	В	
			1										
10			2-C1, 4-CH _s		4-61	4 - CH 8			4-01	3-C1, 4-CH ₈		4 - C 1	
15		W	2-61,	4-61	2-01,	3-C1, 4-CH	4-01	4-8r	2-01,	3-01,	4-01	2-01, 4-01	
20	ıtinued)	Υ	Š	S	S	S	S	S	S	S	S	S	
25	Table 1 (continued)	X	HN	NCHO	NCHO	NCHO	NH	HN	HN	ΞX	NCHO	NCHO	
30	Tab]	R 2	сна	ch.	CH 8	CHs	CH &	CH.	CH a	CH 8	c H _a	CH 3	6
35		No. R 1	CH , O	0 % H O	CH 0	CH , O	CH & S	S & HO	CH 3	CH & S	S # H O	S * H O	
40		Compound	7 8	7 4	7 5	9 2	1 1	8 2	6 L	0 8	8 1	8 2	
		Com	7	87	23	2	2	2	2	2	2	2	

		В	B 2	1 B 2	1 B 2	1 B 2	B 1	B 1	B 1	B 1	1 B 1	B 1
15	(W ,	4-61	2-61, 4-61	2-61, 4-61	2-61, 4-61	4-61	4-61	4-C1	4-01	2-01, 4-01	2-01, 4-01
20	ntinued	> -	S	S	S	S	S	S	80	S 0 2	0.8	\$03
25	Table 1 (continued)	×	NH	HN	HN	HN	0.8	S 0 2	HN	H	II N	HN
30	Tab1	ম •	ch,	cH s	CH 8	CH 8	CH s	cH s	ch s	cH s	°H3	CH s
35		No. R 1	C1	C1	CH & O	CH & S	cH.	cH s	ch s	CH 8	CH.	CH 3
40		Compound No.	2 8 8	2 · 8 · 4	2	9 8	8 7	8	6 8	0 6	9 1	9 2

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5			-	٠ 🗝			_	-	_	-	 -		
		В	В	· A	М	æ	В	B	B	В	В	В	
10			3-C1, 4-CH ₈	3-C1, 4-CH ₈				4-C1	4-61	4-01			
15		W "	3-61,	3-61,	4-61	4-C1	4-61	2-01,	2-61,	2-61, 4-61	4-61	4 - CH s	
20	ıtinued)	¥	0.8	\$03	0	0	0	0	0	0	0	0	
25	Table 1 (continued)	×	HN	NH	တ	8:0	S 0 2	\$	80	S 0 2	HN	NH	
30	Tab1	R 2	CH 3	CH 3	CH 8	CH s	cH s	CH s	cH s	CH 3	CH.	8. H.O	ess.
35		다.	CH®	° HO	CH 8	ch s	CH s	CH3	ch s	c H s	CH &	cH s	
40		Compound No.	& 6	9 4	9 5	9 6	2 6	8 6	6 6	0 0	0 1	0 2	
	1	Cor	67	2	2	2	8	2	8	တ	က	တ	

5		В	B 1	B I	B 1	B 1	B 1	B 1	B 2	B 2	B 2	B 2
10			1-01	• НО-1	-CH 8	.01				4-C1		
15	_	W n	2-61, 4-61	2-C1, 4-CH ₈	3-C1, 4-CH ₈	2-F, 4-C1	4-61	4-61	4-61	2-01, 4	4 - F	4-01
20	tinued	≻	0	0	0	0	0	0	0	0	S	S
25	Table 1 (continued)	×	HN	HN	HN	HN	NCHO	NCH	HN	HN	HN	HN
30	Tabl	۳. 2	CH ₈	CH 8	CH 8	CH s	c H s	ÇH.	CH s	°H2	ch,	ch,
35		R 1	cH s	CH 3	CH 8	CH3	CH &	CH s	ch.	CH3	CH 3	CH 3
	İ	No.				-						
40		Compound No.	e 0	0 4	0 2	9 (2 (&	တ	0		2
		Comp	<u>ه</u>	တ	8	3 0	3 0	လ် 0	3 0	3 1	3 1	3 1

5	В	. B	B 2	B 2	B 2	B 2	B 2	B 2	B 2	B 2	B 2	
•												
10			4-61	1-01	4 - CH a	4-CH 8	ᄄ	.C1	4-Br	4-CH ₈	CH s	
15	M "	4-CH 8	2-01,4	3-61, 4-61	2-61,4	3-CI, 4	2-F, 4-F	2-F, 4-C1	2-F, 4-	2-F, 4-	3-F, 4-CH ₈	
& (continued)	Ϋ́	S	S	S	S	S	S	S	S	S	S	
25 ↔	×	· HN	NH	NH	NH	HN	H N	HN	ΗN	NH	HN	
s Table	ж "	cH s	cH.	ch s	CH s	¢H3	CH 8	CH 3	CH 3	CH 3	CH 3	7
35	o. R. 1	CH.	cH s	CH s	CH 3	CH 8	cH.	ch,	cH3	cH s	ch,	
40	Compound No.	1 8	1 4	1 5	1 6	1 7	1 8	1 9	2 0	2 1	2 2	
	ပ	တ	တ	တ	က	က	တ	က	တ	တ	တ	

	•												
5		щ	B 2	B 2	B 2	B 3	В 3	B 3	B 8	B S	B 4	B 4	
10	•			·CI	4-CH ₈			C1	cH s	CH 8		C 1	
15		w M	4-61	2-C1, 4-CI	3-61, 4-	4-61	4-Br	2-01, 4-01	2-C1, 4-CH ₈	3-C1, 4-CH	4-61	2-01, 4-01	
20	cinued)	Ϋ́	S	S	S	S	S	S	S	S	S	S	- 70
25	Table 1 (continued)	×	NCHO	NCHO	NCHO	HN	HN	HN	H	HN	HN	NH	
30	Table	R 2	ch,	ch,	ch s	cH s	° CH &	¢H3	cH s	c H _a	СНв	CH s	
35		~ -	CH s	CH 3	cH s	°H 3	CH 8	CH3	снз	c H s	CH 8	ch s	
40		Compound No.	တ	4	വ	9	7	&	ග	0	-	7	
40		Сошро	3	3	3 2	3	3	3	3 2	က	က က	တ	

5		В	В 5	2 2	9 8	9 8	3 7		& \$	& ~	6 ~	6 ~	
			Н	, A	В	Ф	æ	В	B	В	В	В	
10				, 4-61		, 4-Cl		, 4-CH ₈		, 4-61		2-C1, 4-CH ₈	
15		* M	4-C1	2-01,	4-61	2-01,	4-61	2-61,	4-61	2-01,	4-61	2-61	
20	ıtinued	¥	S	S	S	S	S	S	S	S	S	S	
25	Table 1 (continued)	×	HN	HN	NH	HN	HN	NH	H	HN	HN	HN	
30	Tabl	R 2	CH.	¢H3	CH 3	CH 3	CH 8	cH3	cH _s	ch s	ch s	cH s	,
		R -	CH 8	c H s	ch s	CH 3	CH ₃	cH s	c H s	c H s	cH s	cH s	
35	:	No.											
40		Compound No.	တ	4	വ	9	2	∞	တ	0	_	7	
40		Comp	က	တ	က	က	တ	တ	က	3 4	3 4	3 4	

5			B 1 0	B 1 0	B 1 0	B 1 0	B 1 0	B 1 0	B 1 1	B 1 1	B 1 2	B 1 2
10				4-61	4 - C I	4 - CH s	- CH 3	-01		4-CH3		4-01
15		M "	4-C1	2-01,	3-01,	2-F, 4	3-F, 4-	2-F, 4-	4-61	2-01,	4-61	2-01,
20	1 (continued)	Ϋ́	S	S	S	S	S	S	S	S	S	· S
25		×	NH	HN	H.	NH	HN	HN	HN	HN	ΞX	H N
30	Table	አ ²	CH s	ch s	в нэ	cH s	CH 3	cH3	CH 3	CH 8	CH3	c H _s
35		۳. د	8 H J	CH s	ch s	e H o	cH s	e H o	CH 8	ch s	CH 3	ch s
40		on pund	တ	4	2	9	7	∞	ග	0	_	2
		Compound	8 4	8	3 4	83 4	8	8 4	ა 4	3	ა ე	ა ე

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			7	2	2 2	7	2	2	က	က	တ	
			-			-	_	_	_	-	-	
5		Ф.	Ф.	В	Д.	ф.	Э	В	Д	М	Д	
10				~	ЭН	H.		71		71	λH.	
			13-	-C	4-(4-(4-C1		4-(4-(ļ
	ļ		2-F, 4-CH ₈	3-F, 4-CH8	2-C1, 4-CH	3-C1, 4-CH ₈		2-01,		2-C1, 4-C1	2-C1, 4-CH ₈	
15		* M	2 – F	3 – F	2-(3-0	4 - C 1	2 – (4-C1	2-0	2-0	
							-					
	Table 1 (continued)											
20	ini.	>-	S	S	S	S	S	S	S	S	S	
	ont											
	0)	×	HN	HN	NH.	HN	NCHO	NCHO	NH	NH	HN	İ
25	را ا		_	_		~	ž	ž	~	~	~	
	abl(
	Ŧ	8	снз	c H 3	CH 3	CH 8	CH.	CH 3	CH 3	CH 8	в н э	
30	~	22	ပ	ပ	ت	2	ي چ	3	ప	ວ	ວ	1
			&	80		ED			•		4 0	
		24	CH 3	CH.	СНз	CH 3	СНз	сн з	СНз	CH 3	CH 3	
35												
		Š										
		Compound No.	က	₩.	വ	9	2	8	6	0		
40		lodi	ស	2	ല	2	5	22	2	9		
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5 .		. .	B 1 8	B 1 4	B 1 4	B 1 4	B 1 4	B 1 5	B 1 5	B 1 5	B 1 5	B 1 6
10			2-F, 4-CH ₈		4-01	2-C1, 4-CH ₈	1-CH 3		4-C1	4 - CH 8	4-CH s	
15	(M "	2-P,	4-61	2-61, 4-6	2-01,	2-P, 4-CH ₈	4-C1	2-61,	2-61,	2-F, 4	4-C1
20	ntinued	Ϋ́	S	S	S	S	S	S	S	S	S	S
25	Table 1 (continued)	×	HN	HN	NH	HN	HN	HN	HN	HN	HN	H N
30	Tab	አ	CH ₈	c H s	° HJ	cH,	cH s	CH 8	CH.	CH 8	CH s	ch,
35		No. R	CH,	CH 8	cH s	CH 3	cH3	CH a	° HO	CH³	CH 8	СНВ
40		Compound N	6 2	8 9	6 4	6 5	9 9	2 9	8 9	6 9	0 2	7 1
	l	ن ا	တ	တ	တ	တ	တ	တ	က	တ	တ	က

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7 2 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 6 7 3 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 6 7 4 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 6 7 5 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 7 6 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 7 8 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 8 8 0 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 8 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 8	R 1 X Y CH 2 X Y CH 3 NH S CH 3 NH S CH 4 NH S CH 5 NH S CH 6 NH S CH 5 NH S CH 6 NH S CH 7 NH S CH 8 NH S CH 9 NH S CH 8 NH S CH 9 NH S CH 8 NH S CH 9 NH S CH 9 NH S CH 10 NH S CH 10	40		35	30	25	20	15	10		5	
7 CH ₈ CH ₈ NH S 2-C1, 4-C1 B I 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 7 S CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 7 S CH ₈ NH S 2-C1, 4-CH ₈ B I 7 S CH ₈ NH S 2-C1, 4-CH ₈ B I 7 S CH ₈ NH S 2-C1, 4-CH ₈ B I 7 S CH ₈ NH S 2-C1, 4-CH ₈ B I 7 S CH ₈ NH S 2-C1, 4-CH ₈ B I 7 T CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 8 D CH ₈ NH S 2-C1, 4-CH ₈ B I 8 D CH ₈ NH S	R 1 R 2 X Y CH 8 CH 8 NH S CH 8 CH 8 NH S				Table	1 (cor	ıtınuea)					
7 2 CH ₈ CH ₈ NH S 2-C1, 4-C1 B 1 7 3 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 4 CH ₈ CH ₈ NH S 2-F, 4-CH ₈ B 1 7 5 CH ₈ CH ₈ NH S 2-F, 4-CH ₈ B 1 7 6 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 8 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 8 9 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1	7 2 CH ₈ CH ₈ NH S 7 3 CH ₈ CH ₈ NH S 7 4 CH ₈ CH ₈ NH S 7 5 CH ₈ CH ₈ NH S 7 7 CH ₈ CH ₈ NH S 7 8 CH ₈ CH ₈ NH S 7 9 CH ₈ CH ₈ NH S 8 0 CH ₈ CH ₈ NH S 8 1 CH ₈ CH ₈ NH S	nodwo	nd No.			×	Υ			В		
7 8 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 7 4 CH ₈ CH ₈ NH S 2-F, 4-CH ₈ B I 7 5 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 7 6 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 7 7 CH ₈ CH ₈ NH S 2-F, 4-CH ₈ B I 7 9 CH ₈ CH ₈ NH S 2-F, 4-CH ₈ B I 8 0 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I	7 8 CH ₈ NH S 7 4 CH ₈ NH S 7 5 CH ₈ CH ₈ NH S 7 6 CH ₈ CH ₈ NH S 7 7 CH ₈ CH ₈ NH S 7 8 CH ₈ CH ₈ NH S 8 0 CH ₈ CH ₈ NH S 8 1 CH ₈ CH ₈ NH S			CH 8	сня	HN	S	2-61, 4-6		В		9
7 4 CH ₈ CH ₈ NH S 2-P, 4-CH ₈ B 1 7 5 CH ₈ CH ₈ NH S 4-C1 B 1 7 6 CH ₈ CH ₈ NH S 2-C1, 4-C1 B 1 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 8 CH ₈ CH ₈ NH S 4-C1 B 1 8 0 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1	4 CH ₈ CH ₈ NH S 5 CH ₈ CH ₈ NH S 6 CH ₈ CH ₈ NH S 7 CH ₈ CH ₈ NH S 9 CH ₈ CH ₈ NH S 0 CH ₈ CH ₉ NH S 1 CH ₈ CH ₈ NH S			CH3	CH3	HN	S	2-C1, 4-CI	60	À	·. —	9
7 5 CH ₈ CH ₈ NH S 4-C1 B 1 7 6 CH ₈ CH ₈ NH S 2-C1, 4-C1 B 1 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 7 8 CH ₈ CH ₈ NH S 4-C1 B 1 8 0 CH ₈ CH ₈ NH S 2-C1, 4-C1 B 1 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1	7 5 CH ₈ CH ₈ NH S 7 6 CH ₈ CH ₈ NH S 7 7 CH ₈ CH ₈ NH S 7 9 CH ₈ CH ₈ NH S 8 0 CH ₈ CH ₈ NH S 8 1 CH ₈ CH ₈ NH S	_		CH 3	CH 8	NH	S	2-F, 4-CH	_	В		9
7 6 CH ₈ CH ₈ NH S 2-C1, 4-C1 B I 7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 7 8 CH ₈ CH ₈ NH S 4-C1 B I 8 0 CH ₈ CH ₈ NH S 2-C1, 4-C1 B I 8 1 CH ₈ CH ₈ NH S 2-C1, 4-C1 B I 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I	7 6 CH ₈ CH ₈ NH S 7 7 CH ₈ CH ₈ NH S 7 8 CH ₈ CH ₈ NH S 8 0 CH ₈ CH ₈ NH S 8 1 CH ₈ CH ₈ NH S	7		CH 3	cH3	HN	S	4-01		В		2
7 7 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I 7 8 CH ₈ CH ₈ NH S 4-CH ₈ B I 7 9 CH ₈ CH ₈ NH S 4-C1 B I 8 0 CH ₈ CH ₈ NH S 2-C1, 4-C1 B I 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I	7 7 CH ₈ CH ₈ NH S 7 8 CH ₈ CH ₈ NH S 8 0 CH ₈ CH ₈ NH S 8 1 CH ₈ CH ₈ NH S	7		CH 3	ĊH a·	HN	S	2-61, 4-61		В	-	7
7 8 CH ₈ CH ₈ NH S 2-F, 4-CH ₈ B 1 7 9 CH ₈ CH ₈ NH S 4-C1 B 1 8 0 CH ₈ CH ₈ NH S 2-C1, 4-C1 B 1 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1	7 8 CH 8 CH 8 NH S 7 9 CH 8 CH 8 NH S 8 0 CH 8 CH 8 NH S 8 1 CH 8 CH 8 NH S	-		в н э	CH 8	NH.	S	2-C1, 4-CH	~	B		7
7 9 CH ₈ CH ₈ NH S 4-C1 B I 8 0 CH ₈ CH ₈ NH S 2-C1, 4-C1 B I 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B I	7 9 CH ₈ CH ₈ NH S 8 0 CH ₈ CH ₈ NH S 8 1 CH ₈ CH ₈ NH S	7		c H s	CH 3	HN	S	2-F, 4-CH		В		7
8 0 CH ₈ CH ₈ NH S 2-C1, 4-C1 B ₁ 8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B ₁	8 0 CH ₈ CH ₈ NH S 8 1 CH ₈ CH ₈ NH S	7		cH s	CH 3	HN	S	4-61		В		∞
8 1 CH ₈ CH ₈ NH S 2-C1, 4-CH ₈ B 1	8 1 CH ₈ CH ₈ NH S	∞		ch s	CH3	HN	S	2-61, 4-61		В	_	∞
				cH s	CH 3	HN	S	2-C1, 4-CH		В		∞

	1	i :	1									
5		B	B 1 8	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1	B 1
. 10			в Н	C 1	¢.1	_	н 8			СНв	CH 8	1,4-61
15		W "	2-F, 4-CH ₈	2-61, 4-61	3-61, 4-61	2-F, 4-C1	2-F, 4-CH ₈	3-F, 4-C	3-F, 4-CH ₈	2-C1, 4-CH	3-C1, 4-CH	2-61, 3-61, 4-61
20	1 (continued)	Y	S	S	S	S	S	S	S	S	S	S
25	le 1 (cor	×	HN	NCHO	NCHO	NCHO	NCHO	NCHO	NCHO	NCHO	NCHO	NCH0
30	Table	R 2	CH s	ch,	CH 3	CH 8	CH3	CH3	CH 8	CH 8	CH 3	CH a
35		. H	°H)	ch s	cH 3	CH 3	CH 3	CH a	CH 8	CH 8	CH 3	CH 3
40		Compound No.	3 2	တ	3.4	2	9 8	7 1	∞	6	0	
		Com	& &	တ	တ	တ	დ დ	တ	တ	& &	တ	တ

X Y W B NCHO S 2-C1, 4-C1, 5-C1 B 1 NCOCH* S 2-C1, 4-C1 B 1 NCOCH* S 2-F, 4-CH* B 1 NCOCH* S 2-F, 4-CH* B 1 NCOCH* S 2-C1, 4-C1 B 1 NCH* S 2-C1, 4-C1 B 1 NCH* S 2-C1, 4-C1 B 1 NNO S 2-C1, 4-C1 B 1 NNH* S 3-C1, 4-C1 B 1	a i	Table 1 (continued)
S 2-C1, 4-C1, 5-C1 S 2-C1, 4-C1 S 3-C1, 4-C1 S 2-F, 4-CH S 2-C1, 3-C1, 4-C1 S 2-C1, 4-C1 S 2-C1, 4-C1 S 3-C1, 4-C1 S 3-C1, 4-C1 S 3-C1, 4-C1		R 2
s 2-C1, 4-C1 s 3-C1, 4-C1 s 2-F, 4-CH s 2-C1, 3-C1, 4-C1 S 2-C1, 4-C1 S 2-C1, 4-C1 S 3-C1, 4-C1	ž	CH ₃ N(
s 3-C1, 4-C1 s 2-F, 4-CH ₈ s 2-C1, 3-C1, 4-C1 S 2-C1, 4-C1 S 3-C1, 4-C1 S 2-C1, 4-C1 S 3-C1, 4-C1 S 2-C1, 4-C1	NC	CH , NC
S 2-F, 4-CH ₈ S 2-C1, 3-C1, 4-C1 S 2-C1, 4-C1 S 3-C1, 4-C1 S 2-C1, 4-C1 S 2-C1, 4-C1 S 3-C1, 4-C1 S 3-C1, 4-C1	NC	CH _s NC
S 2-C1, 3-C1, 4-C1 S 2-C1, 4-C1 S 3-C1, 4-CH S 2-C1, 4-C1 S 3-C1, 4-C1 S 3-C1, 4-C1 S 3-C1, 4-C1)ON	CH B NC
s 2-C1, 4-C1. s 3-C1, 4-C1. S 2-C1, 4-C1 S 3-C1, 4-C1 S 3-C1, 4-C1	NCOCH	OON "HO
S 3-C1, 4-CH ₈ S 2-C1, 4-C1 S 3-C1, 4-CH ₈	NCH.	CH , NCF
S 2-C1, 4-C1 S 3-C1, 4-CH ₈	NCH,	CH 8 NCF
S 3-C1, 4-CH ₈ 2 S 4-C1	NNO	CH 3 NNC
s 4-C1	NNO	CH 8 NNC
	HNN	CH & NN

	В	B -	: -	B 1	B 1	B 1	B 1	B 1	.B 1	B 1	B 1
		-01	-CHs	i-01	cH s	C1, 4-C1		-01	-CH ₃	ch,	
	W "	2-61, 4	3-61, 4	2-01,4	2-F, 4-	2-01,3-	4-61	2-61,4	2-61,4	2-P, 4-	4-61
nued)	¥	S	S	S	S	S	S	S	S	έ	S
le 1 (conti	×	NNH 2	NNH 2	NSO 2 CH 8	NSO 2 CH 8	NSO 2 CH 8	CH2	CH2	CH ₂	CH2	(H()H)
Tak	요 2	в нэ	CH.	c H 3	CH 8	CH 8	CH s	CH 3	CH 3	CH 8	СНз
	No. R 1	cH s	CH 8	· CH³	CH 8	CH 8	ch,	°H)	°H3	ch s	CH.
	Compound	4 0 2	4 0 3	4 0 4	4 0 5	9 0 4	1 0 .7	4 0 8	1 0 9	1 1 0	4 1 1
	Table 1 (continued)	Table 1 (continued)	Table 1 (continued) R ' R 2 X Y W n CH 8 CH 8 NNH 2 S 2-C1, 4-C1	Table 1 (continued) R ' R 2 X Y W n CH 8 CH 8 NNH 2 S 2-C1, 4-C1 CH 8 CH 8 NNH 2 S 3-C1, 4-CH 8	Table 1 (continued) R 1 R 2 X Y W , CH 8 CH 8 NNH 2 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 4-C1	Table 1 (continued) R ' R 2 X Y W n CH 8 CH 8 NNH 2 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 4-C1 CH 8 NSO 2 CH 8 S 2-C1, 4-C1 CH 8 NSO 2 CH 8 S 2-F, 4-CH 8	Table 1 (continued) R 1 R 2 X Y W n CH 8 CH 8 NNH 2 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-F, 4-CH 8 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 3-C1	Table 1 (continued) R ' R 2 X Y W, CH 8 CH 8 NNH 2 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-F, 4-CH 8 CH 8 CH 8 NSO 2 CH 8 S 2-F, 4-CH 8 CH 8 CH 8 NSO 2 CH 8 S 2-F, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 3-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 3-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 3-C1, 4-C1	Table 1 (continued) R ' X Y W " CH * NNH * S 2 - C1, 4 - C1 CH * NNH * S 2 - C1, 4 - C1 CH * NSO * CH * S 2 - C1, 4 - C1 CH * NSO * CH * S 2 - C1, 4 - C1 CH * NSO * CH * S 2 - C1, 3 - C1 CH * CH * S 4 - C1 CH * CH * S 2 - C1, 3 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * S 2 - C1, 4 - C1 CH * CH * CH *	Table 1 (continued) R ¹ R ² X W " CH ³ CH ³ NNH ² S 2-C1, 4-C1 CH ³ NNH ² S 2-C1, 4-C1 CH ³ NSO 2 CH ³ S 2-C1, 4-C1 CH ³ NSO 2 CH ³ S 2-C1, 4-C1 CH ³ NSO 2 CH ³ S 2-C1, 3-C1, 4-C1 CH ³ CH ² S 2-C1, 4-C1	Table 1 (continued) R 1 R 2 X Y W n CH 8 CH 8 NNH 2 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 4-C1 CH 8 CH 8 NSO 2 CH 8 S 2-C1, 3-C1 CH 8 CH 8 CH 2 S 2-C1, 4-C1 CH 8 CH 8 CH 2 S 2-C1, 4-C1 CH 8 CH 8 CH 2 S 2-C1, 4-C1 CH 8 CH 8 CH 2 S 2-C1, 4-C1 CH 8 CH 8 CH 2 S 2-C1, 4-C1 CH 8 CH 8 CH 2 S 2-C1, 4-CH CH 8 CH 8 S 2-C1, 4-CH CH 8 CH 8 S 2-C1, 4-CH CH 8 CH 8 S 2-C1, 4-CH CH 8 CH 8 S 2-C1, 4-CH CH 8 CH 8 S 2-C1, 4-CH CH 8 CH 8 S 2-P, 4-CH

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			E	Table 1 (conti			
			Ä	4	(continued)		
Comp	Compound No.	No. R 1	X	×	>	W a	В
4 1	5	CH 8	CH s	CH(0H)	S	2-61, 4-61	B 1
4 1	တ	CH 8	CH,	CH(OH)	S	2-C1, 4-CH ₈	B 1
4 1	4	CH 3	CH.	CH(0H)	S	3-C1, 4-CH ₈	B 1
4 1	2	CH 3	CHs	CH(0H)	S	2-F, 4-CH ₈	B 1
4 1	9	CH 3	CH &	CH(0H)	S	3-F, 4-CH _a	B 1
4	1	CH 8	CH 3	CH(OCH)	S	4-C1	B 1
4	œ	CH3	CH3	CH(OCH ₈)	S	2-01, 4-01	B 1
~	თ	CH 8	CH 3	CH(0C0CH ₈)	S	4-01	B 1
2	0	CH3	CH 3	CH(0C0CH3)	S	2-61, 4-61	B 1
4 2		CH3	CH 3	CH(0000H3)	S	2-C1.4-CH.	д -

								- .				
5				₩		-			-		-	2
		В	Д	B	В	Ē	В	В	В	В	В	Д
o		ď	2-F, 4-CH ₈		1,4-61		1,4-61		1,4-61	2-C1, 4-CH ₈	2-F, 4-CH ₈	
5		W	2-F,	4-C1	2-61,	4-61	2-01,	4-01	2-01,	2-0]	2-F,	4-01
0	iued)	. X	S	S	S	S	S	S	S	S	S	S
25	Table 1 (continued)	X	CH(0000H3)	CH(P)	CH(F)	CH(C1)	CH(C1)	0=0	0 = 0	0=0	0=0	CH 2
o	Та	요 2	СНв	CH3	CH3	°H2	CH &	CH 3	CH 8	CH 3	CH 8	cH s
5	·	R 1	в нэ	CH a	CH 3	CH a	СНа	CH a	c H s	c H a	CH 3	CH 8
-		No.										
o		Compound No.	2	က	4	ည	9	1	œ	ල	0	
		Сомр	4 2	4 2	4 2	4 2	4 2	4 2	4 2	4 2	<u>4</u> &	4 3

25	5 10 15 20 25	Table 1 (continued)	R'R'X Y W, B	CH 8 CH 8 CH B 2	CH ₈ CH ₈ CH ₂ S 2-C1, 4-CH ₈ B 2	CH ₈ CH ₈ CH ₂ S 2-P, 4-CH ₈ B 2	CH	CH & CH (OH) S 2-C1, 4-C1 B 2	CH	CH; CH; CH(OH) S 3-C1, 4-CH; B 2	CH & CH & CH (OH) S 2-F, 4-CH B 2	CH 8 CH (OH) S 3-F, 4-CH B B	
Compound No. 4 3 2 4 3 3 4 3 4 4 3 5 4 3 9 4 4 0	35			c H s	c H s	cH s	CH 3	CH 3	CH 8	CH3	ch,	CH 8	п

			İ										
	(X)	•	8	B · 2	2	2	2	2	2	8	2	2	
5		В	B	· B	В	В	В	В	В	В	В	В	
10			2-61, 4-61	_	2-61, 4-61	2-CI, 4-CH ₈	2-F, 4-CH ₃		1,4-01		1,4-01		
15		W	2-C	4-61	2-0	2-6	2-F,	4-C1	2-01,	4-01	2-61,	4-61	
	nued)	>	S	S	S.	S	S	S	S	S	S	S	
20	Table 1 (continued)	×	CH(OCH)	СН(ОСОСН3)	CH(OCOCH®)	CH(OCOCH®)	СН(ОСОСН _в)	CH(F)	CH(F)	CH(C1)	CH(C1)	0 = 0	
30	Ĭ.	ж *	сна	CH 8	CH 8	CH 3	c H s	CH s	°H O	cH s	cH a	cH s	
		~	в нэ	° H O	c H s	c H s	cH s	cH s	cH s	cH 3	сна	CH 3	
35		NO.											
40		Compound No.	2	တ	1 4	<u>۔۔</u>	9	7	∞	o 	0		
		Com	4	4	4	4	4 4	4	4 4	4 4	4 5	4 5	

		1	I										1
			2	2	2	2	2	2	2	7	2	2	
5		Щ	æ	В	В	В	В	В	В	В	В	В	
			}										
10			-61	- CH	CH 3		-C1	•	-C1		-C1		
		° ∧	2-61, 4-61	2-C1, 4-CH ₈	2-F, 4-CH ₈	4-01	2-C1, 4-C1	4-01	2-61, 4-61	0.1	2-61, 4-61	0.1	
15			-2	2-	-2	4-	-2	4-	2-	4-01	2-	4-01	
		>-	S	S	S	S	S	S	S	S	S	S	
20	Table 1 (continued)							(# H ((# H;			_	
	onti					0H)	(H0	C(CH3)(0COCH3)	((CH3))(0COCH3)	F)	F)	C(C ₂ H ₅)(OH)	
25	1 (c	×	0=0	0=0	0=0	(но)(вно)о	C(CH3)(0H)	H ₈)(H ₈)(C(CH ₈)(F)	C(CH ₈)(F)	2 H s)	
	ab1e	<u>.</u>				3)3	3)3	10)0	(0)	0)3	10)3	0)0	-
30	175	R 2	CH 3	CH 8	CH 8	CH 3	CH 3	CH 3	CH 3	CH 3	CH 3	ch s	
35		R 1	CH.	CH 3	CH3	CH 3	CH 3	CH 3	CH 3	CH3	CH 3	CH 3	
		NO.											
40		Compound No.	2	တ	4	ນ	9	7	∞	တ	0		
		Ddw(5	ស	ಬ	ಬ	ည	വ	rc	ເລ	9	9	
		8	4	4	4	4	4	4	4	4	4	4	

										5
		•	Ħ	Table 1 (continued	cinued)					
<u> </u>	Compound No.	ጸ -	교	×	> -	* *			Ω Ω	
9	5	CH.	CH 8	C(C2H8)(0H)	H)	S	2-61, 4-61	4-C1	m	2
9	တ	c H s	CH &	C(i-C,H,)(OH)	(0H)	S	4-01		æ	.2
9	4	c H ³	CH 8	C(i-C,H1)(0H)	(HO)	S	2-61, 4-61	4-C1	В	2
9	ಬ	CH 8	ch,	C(i-C,H,)(OH)	(HO)	S	2-C1, 4-CH ₈	4-CH ₈	В	2
9	9	CH 3	CH.	C(i-C ₈ H ₇)(0H)	(HO)	S	2-F, 4-CH	- CH 3	В	2
9	7	CH 3	CH.	CH(CH;)		S	4-61		В	2
9	œ	CH 3	CH 3	CH(CH;)		S	2-01, 4-01	4-61	В	2
9	တ	CH3	CH3	CH(CH;)		S	2-C1, 4-CH ₈	4 - CH 8	В	2
~	0	CH 8	CH 8	CH(CH;		S	2-F, 4-CH ₈	-CH3	B	2
7		0.1	CH 8	CH2		S	4-C1		В	2

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	В	B 2	B 2	B 2	В 2	B 2	B 2	B 2
	-	C1	c H s	=		C 1	CH 8	
10	M.	2-61, 4-61	2-C1, 4-CH ₈	2-F, 4-CH ₈	C 1	2-01, 4-01	2-C1, 4-CH ₈	2-F, 4-CH ₈
15	¥				4-C1			
led)		S	S	S	S	S	S	S
° sontinu	·							
Table 1 (continued)	X	CH 2	CH 2	CH 2	CH 2	CH 2	CH2	CH2
s Tab	۳. 2	СНз	cH3	cH s	cH3	ch ,	cH s	СНз
	٦ -	13	0.1	0.1	CH 8 O	0 % H O	0 % H O	CH 3 O
35					၁	ວ	ົວ	၁
40	Compound No.	7	က	4	വ	9	7	∞
	Com	4 7	4	4 7	4 7	4 7	4 7	4 7

5	·	÷ .	В	B 1	B 2	B 1
10			V V	A 1	A 1	A 2
15						
20			¥	တ	S	S
25	Table 2	-Y -A X -B	×	H	HN	HN
30		R ₂ -N	"R 2	ch.	c H s	CH 8
35	:jo spun	R. N.	40. R 1	CH,	CH s	CH.
40	In compounds of:		Compound No.	4 7 9	4 8 0	4 8 1

5		æ	B 2	В 1	B 2	B 1	B 2	B 1	B 2	B 1	B 2	B 1	
		Н	Щ	щ		щ	111	щ	щ	щ	ш	14	
,,		a	2	တ	တ	4	4	വ	ស	9	9	2	
	0.0	M	A	A	A	A	A	A	×	≺	V	¥	
15	<u> </u>												
20	2 (continued)	Ϋ́	S	S	S	S	S	S	S	S	S	S	
25	e 2 (co	×	HN	NH	HN	HN	NH	NH	NH	HN	HN	HN	
30	Table	ጸ ²	ch.	ch,	ch.	ch.	° CH °	ch,	cH s	cH3	CH 3	ch s	
35		교 .	CH 8	CH &	CH.	ch,	cH s	CH 3	CH.	c H s	c H s	c H s	
		Compound No.											
40		unoc	2	က	4	2	9	7	∞ ~	6 ~	0		
		Jwo,	4 8	4 8	4 8	4 8	4 8	4 8	4 8	4 8	4 9	4 9	

5	•	æ	B 2		3 2	-1	2		2	1	2 2	
		1	щ	A	B	В	В	В	В	В	В	
10								0	0		-	
		c	2	∞	∞	6	6	-	-	_	_	
15		M	A	Y	A	Y	A	A	A	A	¥	
20	2 (continued)	¥	S	S	S	S	S	S	S	S	S	
	ont											
25		×	HN	N	HN	NH	NH	HN	HN	HN	HN	
25	Table 2 (co	R 2 X	CH ₈ . NH	CH s NH	CH 8 NH	CH3 NH	CH ₈ NH	CH 8 NH	CH. 8HO	CH 8 NH	CH 8 NH	
		64										
30		R 1 R 2	CH 8	s CH s	° CH	CH 3	6H8	CH3	ch.	CH.	CH.	
<i>30</i>		ጸ 2	CH ₈ CH ₈	CH _s CH _s	CH ₈ CH ₈	CH _s CH _s	CH _s CH _s	CH ₈ CH ₈	CH ₈ CH ₈	CH ₈ CH ₈	CH3 CH3	

In the above-mentioned tables, B1 to B18 represent the following chemical structures:

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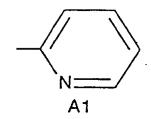
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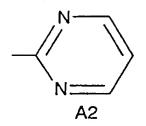
$$N = N$$
N
B6

$$CH_3$$
 N
 CH_3
 CH_3
 CH_3

In the above-mentioned tables, A1 to A11 represent the following chemical structures:

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0

N

A11

Α9

Next, methods of producing compounds of the present invention are shown below by way of the reaction schemes, which will be explained hereunder.

Reaction Schemes

(Method 1)

5 XH 10 N R² [2]

L-B [3]

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N R² [1]

(Method 2)

35 (a) 40

XH[4]

L-B [3]

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(b) N R₂ [5]

HX-B [6]

55 When Y ≠ 0:

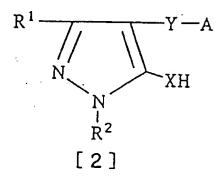
(Method 3)

When $X = N-R^3$, $R^3 \neq H$:

$$\begin{array}{c|c}
R_1 & & Y - A \\
\hline
N_N & & N - B \\
\dot{R}_2 & \dot{R}_3
\end{array}$$
[11]

(Method 1)

Compounds of the present invention are produced by reacting a substituted pyrazole of a general formula [2]:



where R¹, R², X, Y and A have the same meanings as mentioned above, and a heterocyclic compound of a general formula [3]:

L-B [3]

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where L represents a leaving group such as a halogen atom or the like; and B has the same meaning as mentioned above. In the case, where X is -NCOR⁴ or -NSO₂R⁵, the product may be hydrolyzed by post-treatment or the like to give a compound where X is -NH.

The above-mentioned reaction does not always need a solvent. If needed, however, the solvent may selected from, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated hydrocarbons such as dichloroethane and the like, ethers such as diisopropyl ether, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

If desired, an organic base (e.g., pyridine, triethylamine, etc.) or an inorganic base (e.g., potassium carbonate, sodium hydride, etc.) may be added to the reaction system.

If desired, a copper salt or a copper complex may also be added thereto as a catalyst.

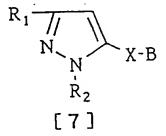
Regarding the amounts of the reactants of the above-mentioned reaction, the heterocyclic compound of formula [3] is within the range of from 1 to 5 equivalents to one equivalent of the substituted pyrazole of formula [2].

The reaction temperature of the reaction is not defined, but in general, it is preferably from room temperature to 200 °C or the reflux temperature of the solvent used.

After the reaction, the intended product may be obtained by ordinary treatment of the reaction mixture.

(Method 2)

(a) A compound of a general formula [7]:



where R¹,R²,X and B have the same meanings as mentioned above, is produced by reacting a pyrazole of a general formula [4]:

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where R¹, R² and X have the same meanings as mentioned above, and a heterocyclic compound of formula [3] optionally in the presence of a suitable solvent and a suitable base. In the case, where X is -NCOR⁴ or -NSO₂R⁵, the product may be hydrolyzed by post-treatment or the like to give a compound where X is -NH.

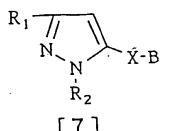
The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated hydrocarbons such as dichloroethane and the like, ethers such as diisopropyl ether, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

The base to be, if any, in the same includes, for example, potassium carbonate, sodium hydride and the like.

If desired, a copper salt or a copper complex may be added to the reaction system as a catalyst.

The reaction temperature of the reaction is not defined, but in general, it is preferably from room temperature to 200 °C or the reflux temperature of the solvent used.

(b) A compound of a general formula [7]:



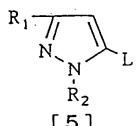
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where R¹, R², X and B have the same meanings as mentioned above is produced by reacting a pyrazole of a general formula [5]:



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where R¹ and R² have the same meanings as mentioned above, and L represents a leaving group such as a halogen atom or the like, and a heterocyclic compound of a general formula [6]:

HX - B [6]

where X and B have the same meanings as mentioned above, optionally in the presence of a suitable

solvent and a suitable base. In the case, where X is $-NCOR^4$ or $-NSO_2R^5$, the product may be hydrolyzed by post-treatment or the like to give a compound where X is -NH.

The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated hydrocarbons such as dichloroethane and the like, ethers such as diisopropyl ether, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

The base to be, if any, in the same includes, for example, potassium carbonate, sodium hydride and the like.

If desired, a copper salt or a copper complex may be added to the reaction system as a catalyst.

The reaction temperature of the reaction is not defined, but in general, it is preferably from room temperature to 200 °C or the reflux temperature of the solvent used.

Next, the pyrazole of formula [7] as obtained in the above-mentioned reaction (a) or (b) is reacted with a compound of a general formula [8]:

where A has the same meaning as mentioned above, Y has the same meaning as mentioned above, except oxygen atom, and L represents a leaving group such as a halogen atom or the like, optionally in the presence of a suitable solvent and a suitable base, to give a compound of the present invention.

The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as toluene, xylene, chlorobenzene and the like, halogenated hydrocarbons such as dichloroethane, chloroform, carbon tetrachloride and the like, ethers such as diisopropyl ether, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

The base to be, if any, in the same includes, for example, pyridine, triethylamine, potassium carbonate and the like.

The reaction temperature of the reaction is not defined, but in general, it is preferably from 0°C to 100°C.

(Method 3) When $X = N-R^3$, $R^3 \neq H$:

A compound of the present invention is produced by reacting a pyrazole of a general formula [9]:

$$\begin{array}{c|c}
R_1 & & Y - A \\
\hline
N & & NH - B \\
\hline
R_2 & & \\
\hline
 & 9 \end{array}$$

where R¹, R², Y, A and B have the same meanings as mentioned above, and a compound of a general formula [10]:

$$R^3 - L$$
 [10]

where R³ has the same meaning as mentioned above except hydrogen atom, and L represents a leaving group such as a halogen atom or the like, optionally in the presence of a suitable solvent and a suitable hase

The solvent to be, if any, in the above-mentioned reaction includes, for example, hydrocarbons such as benzene, toluene, xylene and the like, halogenated hydrocarbons such as dichloroethane, chloroform, carbon tetrachloride and the like, ethers such as diisopropyl ether, tetrahydrofuran, dioxane and the like, esters such as ethyl acetate and the like, nitriles such as acetonitrile and the like, and polar solvents such as dimethylsulfoxide, dimethylformamide and the like.

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The base to be, if any, in the same includes, for example, organic bases such as pyridine, triethylamine and the like, and inorganic bases such as potassium carbonate, sodium hydride and the like.

The reaction temperature of the reaction is not defined, but in general, it is preferably from 0°C to 100°C.

EXAMPLES

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Next, concrete production examples are mentioned below.

10 Preparation Example 1 (preparation of compound No. 5 of the invention)

1.4 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-mercaptopyrazole and 1.2 g of 2-chloropyridine were stirred under heat at 120 °C for 1.5 hours. After cooled, 60 ml of ethyl acetate was added thereto and stirred, and the insoluble components were taken out by filtration. The filtrate was concentrated and then purified by silica gel column chromatography (developing solution; chloroform/ethyl acetate = 9/1), to give 0.6 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-(2-pyrimidylthio) pyrazole. Oily product. n_D^{21.0} = 1.6465.

Preparation Example 2 (preparation of compound No. 49 of the invention)

Preparation of N-(1,3-dimehtyl-5-pyrazolyl)formamide:

20 g of 5-amino-1,3-dimethylpyrazole was dissolved in 29 g of formic acid (85 %), and 55 g of acetic anhydride was dropwise added thereto under cooling with ice. After stirred for 3 days at room temperature, the reaction mixture was concentrated under reduced pressure and then purified by silica gel column chromatography (developing solution; chloroform) to give 12.8 g of N-(1,3-dimethyl-5-pyrazolyl)formamide.

2 Preparation of 1,3-dimethyl-5-(2-pyridylamino)pyrazole:

A mixed solution comprising 4.1 g (29 mmol) of N-(1,3-dimethyl-5-pyrazolyl)formamide and 10 ml of N,N-dimethylformamide was dropwise added to a suspension of 70 ml of N,N-dimethylformamide containing 1.6 g of sodium hydride (55 %), under cooling with ice. After this was stirred for 2 hours at room temperature, a mixed solution comprising 3.4 g (30 mmol) of 2-chloropyrimidine and 10 ml of N,N-dimethylformamide was added thereto. After this was stirred under heat at 100 °C for further 2 days, the solvent was removed therefrom by distillation under reduced pressure and water was added thereto. Then, this was extracted with chloroform, washed with water and dried with anhydrous sodium sulfate. After this was filtered, the solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography to give 2.4 g of 1,3-dimethyl-5-(2-pyrimidylamino)pyrazole. m.p. 179.0 to 182.0 °C.

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- © 3 Preparation of compound No. 49 of the invention:
 - 1.1 g of 1,3-dimethyl-5-(2-pyrimidylamino)pyrazole was dissolved in 30 ml of chloroform. 0.5 g of 4-chlorophenylsulfenyl chloride was dropwise added to the resulting solution at room temperature and reacted for one hour with stirring. The organic layer was washed with 30 ml of water and then dried with anhydrous sodium sulfate.

The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 0.8 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-(2-pyrimidylamino)pyrazole. m.p. 158.0 to 159.0 °C.

- 50 Preparation Example 3 (preparation of compound No. 172 of the invention)
 - 1 Preparation of 1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole:
 - 1.0 g of 1,3-dimethyl-5-(2-pyrimidylamino)pyrazole was added to a suspension of 10 ml of THF containing 0.25 g of sodium hydride (55 %), little by little under cooling with ice and then stirred for one hour at 60 °C. The solution was cooled to room temperature, and 4.1 g of methyl iodide was added thereto and refluxed gently for 2 hours. After cooled, 10 ml of water was added thereto. Then, this was extracted three times each with 30 ml of diethyl ether. The ether layer was dried with anhydrous sodium sulfate, the

solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 0.5 g of 1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole. Yellow oily product.

Preparation of compound No. 172 of the invention:

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0.14 g of p-chlorophenylsulfenyl chloride was dropwise added to a solution of 10 ml of chloroform containing 0.2 g of 1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole and stirred for 15 hours at room temperature.

The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 0.25 g of 4-(4-chlorophenylthio)-1,3-dimethyl-5-(N-(2-pyrimidyl)-N-methylamino)pyrazole. m.p. 77.0 to 78.0 °C.

Preparation Example 4 (preparation of compound No. 314 of the invention)

① Preparation of 1,3-dimethyl-5-(2-pyridylamino)pyrazole:

9.9 g of anhydrous potassium carbonate and 1 g of copper(II) acetylacetonate were added to a mixed solution of 60 mI of N,N-dimethylformamide containing 10 g of N-(1,3-dimethyl-5-pyrazolyl)formamide and 10.2 g of 2-bromopyridine and heated under reflux for 3 hours. After the solvent was removed by distillation under reduced pressure, water was added to the reaction mixture, which was then extracted with chloroform. The organic layer was washed with water and dried with anhydrous sodium sulfate.

The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform/ethyl acetate) to give 4.6 g of 1,3-dimethyl-5-(2-pyridylamino)pyrazole. m.p. 113.0 to 115.0 °C.

(2) Preparation of compound No. 314 of the invention:

1.27 g of 1,3-dimethyl-5-(2-pyridylamino)pyrazole was dissolved in 50 ml of chloroform and cooled with ice water. 1.55 g of 2,4-dichlorophenylsulfenyl chloride was dropwise added to the solution and stirred for 15 hours at room temperature.

The solution was washed with an aqueous sodium hydrogencarbonate solution and then with water and dried with anhydrous sodium sulfate. The solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 1.75 g of 4-(2,4-dichlorophenylthio)-1,3-dimethyl-5-(2-pyridylamino)pyrazole. m.p. 144.0 to 145.0 °C.

Preparation Example 5 (preparation of compound No. 435 of the invention)

① Preparation of 2-pyridyl-(1,3-dimethyl-5-pyrazolyl)methanol:

300 ml of dry tetrahydrofuran solution containing 5.5 g of 2-bromopyridine was cooled to -78 °C, and 5.45 g of n-butyl lithium hexane solution (15 w/w %) was dropwise added thereto and stirred for 30 minutes. Then, 4.2 g of 1,3-dimethyl-5-formylpyrazole was dropwise added thereto. Afterwards, this was gradually heated up to room temperature and stirred for 15 hours.

The solution was neutralized by adding 2 N hydrochloric acid thereto, and then extracted three times each with 150 ml of ethyl acetate. The organic layer was dried with anhydrous sodium sulfate, the solvent was removed by distillation under reduced pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 5.2 g of 2-pyridyl-(1,3-dimethyl-5-pyrazolyl)methanol as a brown oily product.

Preparation of compound No. 435 of the invention:

3.4 g of p-chlorophenylsulfenyl chloride was dropwise added to 60 ml of dry chloroform solution containing 3 g of 2-pyridyl-(1,3-dimethyl-5-pyrazolyl)methanol at room temperature and stirred for 12 hours. After the solvent was removed by distillation, 50 ml of ethyl acetate and 50 ml of aqueous 10 % sodium hydrogencarbonate solution were added to this and stirred for 30 minutes. The organic layer was separated, and the aqueous layer was extracted three times each with 50 ml of ethyl acetate. The combined organic layers were dried with anhydrous sodium sulfate. The solvent was removed by distillation under reduced

pressure, and the residue was purified by silica gel column chromatography (developing solution; chloroform) to give 1.2 g of 2-pyridyl-4-(4-chloropehnylthio)-1,3-dimehtyl-5-pyrazolyl)methanol as white crystals. m.p. 90.0 to 91.0 °C.

5 Preparation Example 6 (preparation of compound No. 451 of the invention)

1.2 g of compound No. 435 of the invention as obtained in Production Example 5 was dissolved in 50 ml of dry dichloromethane, and 1.5 g of manganese oxide was added thereto at room temperature and stirred for 2 hours. The insoluble component was removed by filtration with Celite, the solvent was removed by distillation under reduced pressure, and the residue was crystallized from diisopropyl ether to give 1.0 g of 2-pyridyl-(4-(4-chlorophenylthio)-1,3-dimethyl-5-pyrazolyl)ketone as white crystals. m.p. 111.0 to 113.0 °C.

Physical properties of compounds as produced in accordance with these methods are shown in Table 3 below.

15												
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20			standard substance TMS									
25												
30		24	CDC18),				ē.					77
35	Table 3	1 H-NMR	S (ppm, C	= 1.6465	္ငံ	္	5)	5)	<i>r</i>)	r)	<i>r</i> >	
40			.5	D 21.0 =	0		171.0°C	152.0°C	182.0 °C	193.0°C	162.0°C	
45			oroperties	п	$150.0 \sim 151.$	$158.0 \sim 159.0$	$170.0 \sim 171.0$	$150.0 \sim 152.0$	181.0 \sim	190.0 \sim	$159.0 \sim 162.0$	
50		punc	Physical properties	oily	m.p.	a.p.	m.p.	m.p.	m.p.	m. p.	m. p.	
55	·	Compound	NO.	2	4 7	4 9	5 2	ນ	9	5 7	2 8	

Compound Table 3 Table 3 Compound Na Physical properties 5 9 m.p. 168.5~171.5 °C 6 0 m.p. 157.0~158.0 °C 6 1 m.p. 170.5~172.5 °C 6 3 m.p. 160.0~162.0 °C 6 4 m.p. 156.0~157.0 °C 6 6 m.p. 180.0~183.0 °C 6 7 m.p. 125.5~127.0 °C 6 8 m.p. 126.0~157.0 °C 6 9 m.p. 126.0~127.0 °C				standard substance TMS										
Table 3 ysical properties 1. p. $168.5 \sim 171.5$ 1. p. $157.0 \sim 158.0$ 1. p. $157.0 \sim 152.5$ 1. p. $170.5 \sim 172.5$ 1. p. $156.0 \sim 157.0$ 1. p. $180.0 \sim 183.0$ 1. p. $185.5 \sim 127.0$ 1. p. $156.0 \sim 157.0$ 1. p. $180.0 \sim 183.0$ 1. p. $180.0 \sim 187.0$ 1. p. $156.0 \sim 157.0$	15			<i>`</i>										
Table 3 ysical properties 1. p. $168.5 \sim 171.5$ 1. p. $157.0 \sim 158.0$ 1. p. $157.0 \sim 152.5$ 1. p. $170.5 \sim 172.5$ 1. p. $156.0 \sim 157.0$ 1. p. $180.0 \sim 183.0$ 1. p. $185.5 \sim 127.0$ 1. p. $156.0 \sim 157.0$ 1. p. $180.0 \sim 183.0$ 1. p. $180.0 \sim 187.0$ 1. p. $156.0 \sim 157.0$	20		' H-NMR	(ppm, CDC1										
rable 3 ysical properties 1. p. $168.5 \sim 171$. 1. p. $157.0 \sim 158$. 1. p. $170.5 \sim 172$. 1. p. $160.0 \sim 162$. 1. p. $180.0 \sim 183$. 1. p. $186.0 \sim 187$. 1. p. $186.0 \sim 187$. 1. p. $186.0 \sim 187$. 1. p. $186.0 \sim 187$. 1. p. $186.0 \sim 187$.	25			6										
Compound No Physica 5 9 m.p. 6 1 m.p. 6 3 m.p. 6 6 m.p. 6 6 m.p. 6 8 m.p.				l properties	168.5~171.5	$157.0 \sim 158.0$	172.	$160.0 \sim 162.0$	$156.0 \sim 157.0$	180.0 \sim 183.0	125.5 \sim 127.0	$154.0 \sim 157.0$	$126.0 \sim 127.0$	
Compound Na Phys 6 0 m. 6 3 m. 6 4 m. 6 6 m. 6 8 m. 6 9 m.	35	•	:	sica]	Э	р.	p.		ъ.	ъ.	р.	ď	p.	
Comport Comport 6 6 1 6 9 6 9 6 9		:	pur	Phys	ė	Ė	ė	ë	ė	ë	Ë	ë	ë	
	40		ıodu		တ	0	-	တ	4	9	2	∞	တ	
• I			Cor	Se Se	2	9	9	9	9	9	9	9	9	

	.		TIMS	1									1
5			substance										
10			standard substance TMS										
15			<u>`</u>										
20		22	CDC18										
25	ned)	1 H-NMR	S (ppm,		င့	္နာ	ာ့	ာ့	ာ့	ာ့	ပ္	ာ့	
30	Table 3 (continued)				$178:0 \sim 179.0$	$5 \sim 167.5$	$174.0 \sim 176.0$	$5 \stackrel{\sim}{\sim} 135.5$					
35	rable		Physical properties		178:0~	163.5~	174.0~	132.5	$148.0 \sim 151.0$	127.5 \sim 128.5	180.0 \sim 184.0	175.0 \sim 177.0	
J 5		pun	Physic		m.p.	n.p.	B. p.	m.p.	m.p.	m.p.	m. p.	n.p.	
40		Compound		h	0	₩.	87	တ	9	6		တ	
		ပိ	夕.		7	2	2	2	2	2	œ	œ	

5			standard substance TMS										
15), standa										
20		Q	CDC13)										
25	ned)	H-NWR	δ (ppm, CDC1 _s	္နာ	ပ္	ပ္	္	ပ္	္နာ	ပ္	ပ္	ာ့	
30	Table 3 (continued)		Physical properties	160.0~162.0	$180.0 \sim 181.5$	$186.0 \sim 188.5$	$201.0 \sim 205.0$	$166.0 \sim 168.0$	$164.5 \sim 166.5$	$161.0 \sim 163.0$	$148.5 \sim 150.0$	$134.5 \sim 137.0$	9
35	Tal	•	Physical	m. p. 16	m.p. 18	m.p. 18	m.p. 20	m.p. 16	m.p. 16	m.p. 16	m.p. 14	m.p. 13	
40		Compound	Na	9 2	9 6	9 7	8 6	6 6	1 0 0	1 0 2	1 0 5	106	
45	!	I		ŀ									Į

5	j		ince TMS	:									
			substa	:									
10			, standard substance TMS										
15			$\widehat{}$										
20		яR	CDC1	!									
25	inued)	1 H-NMR	S (ppm, CDC18	ပ္	ာ့	ာ့	ပ္	ပ္	ပ္	ပံ့	ပ္	ပ္	
30	Table 3 (continued)	·	perties	189.0~191.0	$135.0 \sim 137.0$	$178.0 \sim 180.0$	$158.0 \sim 160.0$	$164.0 \sim 166.0$	$140.0 \sim 141.0$	$159.0 \sim 161.0$	$147.0 \sim 148.0$	181.0 \sim 183.0	72
35	. [brd	1 8 1	13	17	15	16	14	15	14	18	
40		Pj	Physical properties	m. p.	m.p.	m. p.	m.p.	m. p.	m.p.	m.p.	m.p.	m.p.	
-		Compound		∞	0	တ	9	0	4	9	တ	~	
	-	đwo	~	0	→ .			2	2	2	7	တ	
45		Ŭ	Na			_	—	₩	-	-	-	-	

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		1	TIMS	I									1
5			tance '	,									
			sqns										
10	٠		standard substance TMS										
15			<u>,</u>										
20		24	CDC1 s										
25	ued)	1 H - NMR	δ (ppm, cDCl _s		.	-		 \		-			
25	tin		40	ာ့	ာ့	ာ့	ຸນ	ပ္	ပ္	ວ	ာ့	ာ့	
30	Table 3 (continued)		S	198. (- 164. (-145.0	.153.	216.	140.0	195.	193.	124. (
	Table		Physical properties	196.0~198.0	$162.0 \sim 164.0$	43.0	151, $5\sim 153$, 5	$214.0 \sim 216.0$	139, $0 \sim 140$. 0	192.5 \sim	$190.5 \sim 193.5$	$123.0 \sim 124.0$	
35			[Sa]	l	p. 1	p. 1	p. 1	p. 2	p. 1	p. 1	p. 1		
		TO TO	Physi	m. p.	B. P	E	m.	₽	п. Р	m. p	₽.	m. p.	
40		Compound		က	2	4	9	တ	4		6	7	
		dwc	•	က	4	4	4	4	വ	ည	വ	9	
		ပြ	Na	-	-	-	_	\leftarrow	-		-	\vdash	
45		'		1									ı

5		· ·	ance TMS	÷	s, 3H),	=5Hz)							
10			standard substance TMS		2.20(s, 3H), 3.65(s, 3H), 3.69(s, 3H),	8.35(d, 2H, J=5Hz)							
15			,		65(s, 3H								
20	·	#R	δ (ppm, CDC1 s)		3H), 3.	$6.60 \sim 7.00 (m, 5H)$,							
25	inued)	1 H - NMR	S (ppm,	ပ္	2.20(s,	$6.60 \sim 7$	ာ့	ပ္	ပ္	1.5957	ຸນ	ာ့	
30	Table 3 (continued)		ies	152.0∼154.0 °C	ine		$183.0 \sim 184.0$	78.0	72.0	2 1 . 0 ==	87.0	85.0	*
35	Table		Physical properties		semi-crystalline		183.0~	77.0 \sim	70.0 \sim	a a	$84.0 \sim$	$83.0\sim$	
40		pu	Physica	a.p.			m.p.	m. p.	m.p.	oily	B. 9.	m. p.	
		Compound		∞	ග		0	2	ည	9	7	œ	
		lwo.		9	9		7	2	7	7	2	7	
45		Ç	No.	-	-			-	-	-			
			•										ı

20 25 20 25 25 25 20 25 25 25 26 25 26 25 26 25 26 25 26 25 26 26 26 26 26 26 26 26 26 26 26 26 26	1 H-NMR	properties δ (ppm, CDC1,), standard substance TMS	2.08(s, 3H), 2.19(s, 3H), 3.79(s, 3H),	4.09(d,1H, J=18Hz), 5.10(d,1H, J=18Hz)	6.54(t,1H,J=5Hz), 6.90(s,4H), 8.13(d,2H,J=5Hz)	$98.0\!\sim\!100.0$ °C	$128.0\sim129.0$ °C	2.20(s, 3H), 3.21(s, 3H), 4.50(d, 1H, J=14Hz),	5.52(d,1H,J=14Hz), 6.58(t,1H,J=5Hz),	6.91(s, 4H), 7.08(s, 4H), 8.18(d, 2H, J=5Hz)	$164.0 \sim 166.0$ °C	
40		Physical prope	oily			m.p. 9	m.p. 12	oily			m.p. 16	
45	punodwo		7 9			υ 0 8	8 2 u	8 4			8 7	
50	၂ ပိ	Na				=	-	-				

5			, standard substance TMS									
10			, standaı									
15		~	CDC1 8)									
20	nued)	1 H - NMR	δ (ppm,	ာ့	ပ္	င့	7)	<i>r</i>)	5 N	<i>t</i> >	7 N	5 \
25	Table 3 (continued)			1	വ		152.0 °C	169.5°C	167.0 °C	187.0°C	207.0°C	196.0°C
30	Table		Physical properties	189.0~191.0	$142.0 \sim 143.$	$140.5 \sim 141.5$	$149.0 \sim 152.0$	$168.5 \sim$	$164.5 \sim$	183.0 \sim	$205.0\sim$	$194.0 \sim 196.0$
35		nd	Physica.	m. p.	m. p.	m.p.	m. p.	m.p.	m. p.	a.p.	n. p.	m.p.
40		Compound		& &	9 4	9	9 7	& G	ნ	0 1	9	7
		Sol	Na			-			-	5 (2	5 9

5			standard substance TMS					•					
10													
15		:	CDC1,)、										
20	ed)	1 H-NMR	S (ppm, CD										
25	ontinu		9	ာ့	ပ္	ာ့	ာ့	ာ့ ၀	ာ့ 0	ာ	ာ့	ာ့	
30	Table 3 (continued)	٥	Physical properties	186.0~188.0	$148.0 \sim 150.0$	$165.0 \sim 166.0$	$127.0 \sim 128.0$	$167.0 \sim 168.0$	$144.0 \sim 145.$	$126.0 \sim 128.0$	$145.0 \sim 147.0$	118.0 \sim 120.0	
35			Physical	m. p.	m.p.	a.p.	B. p.	m. p.	m.p.	m. p.	m.p.	m.p.	
40		Compound	Na	2 9 2	3 0 1	3 0 8	3 1 1	3 1 2	3 1 4	3 1 5	. T . 6	3 1 7	
45	1			l								•	1

5 10			, standard substance TMS										
15			CDC1 a)										
20	ned)	1 H - NMR	S (ppm,				21						
25	Table 3 (continued)			114.0 °C	0.001	0.00°	24.0 °C	0.80°C	60.0°	69.0°C	148.0 °C	30.0%	,
30	Table 3		Physical properties	112.0~114.0	99.0 \sim 100.0	99.0 \sim 100.0	$122.0 \sim 124.0$	$107.0 \sim 108.0$	$158.0 \sim 160.0$	$168.0 \sim 169.0$	$147.0 \sim 1$	$128.0 \sim 130.0$	
35		pı	Physical	a.p.	m. p.	m. p.	m. p.	m. p.	m.p.	m.p.	m. p. 1	m.p. 1	
40		Compound	Na	3 1 8	3 1 9	3 2 0	3 2 1	3 2 2	3 2 6	8 2 8	ှ ဇ ဇ	3 4 1	
45	İ												

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5			standard substance TMS					•					
10			standard s	!									
15			18),										
20		NMR	δ (ppm, cDcl _s										
25	nued)	1 H - NWR	ð (ppi	ņ	ာ့	ာ့	ာ့	ပ့	ာ့	ပ္	ာ့	ပံ	
30	Table 3 (continued)		erties	$128.0 \sim 131.0$	$180.0 \sim 181.0$	$301.0 \sim 302.0$	$185.0 \sim 186.0$	$106.0 \sim 107.0$	$160.0 \sim 161.0$	$161.0 \sim 163.0$	$142.0 \sim 144.0$	$128.0 \sim 130.0$	
35	Ta		ıl prop							161			
		g	Physical properties	m.p.	Б. р.	m.p.	m. p.	m. p.	m. p.	m.p.	B. p.	m.p.	
40		Compound		တ	4	2	9	2		2	4	2	
		ď		4	4	4	7	4	ស	2	2	ည	İ
		ည	Se Se	တ	တ	တ	က	တ	က	က	တ	တ	
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Compound		Table 3 (continued)	inued)	٩				
Na	Physical properties	ties	n nma S (ppm,	CDC13) , stan	dard subs	standard substance TMS	
4 4 0	resinous		2.20(s,6H),	1	55(s, 3H)	, 5.10	3.55(s, 3H), 5.10(bs, 1H),	
			6.05(s,	1H), 6.	6.05(s,1H), 6.50~7.60(m,6H)	(m, 6H)	•	
			8.40(d,	40(d, 1H, J=5Hz)	(z)			
4 4 1	oily		2.17(s, 3H),		3.30(s,3H), 3.68(s,3H),	, 3.68	(s, 3H),	
			5.68(s,1H),		6.80 \sim 7.70(m,7H)	(m, 7H)		
		•	$8.30 \sim 8$	8.30~8.50(m,1H)	(H			
4 4 3	oily		2.10(s, 3H),		2.25(s, 3H),		4.05(s, 3H),	
			6.80 \sim 8.70 (m, 9H),	.70(m,9	H),			

5		ų.	.45Hz)						, 3Н),	
10		standard substance TMS	2.19(s, 3H), 3.77(s, 3H), 6.67(d, 1H, J=45Hz)	(, J=5Hz)		9(s, 3H),	8H)	0.50(d, 3H, J=7Hz), 1.00(d, 3H, J=7Hz),), 3.87(s, 3H),	8H)
15		andard sub	зн), 6.6	8.51(d, 1H, J=5Hz)		H), 3.6	6.80 \sim 8.51(m,8H)	00(d,3H	65(m, 1H	6.61~8.35(m,8H)
20	-	<u>`</u>	3.77(s, §		·	2.16(s, 3H), 3.69(s, 3H)	6.80	7Hz), 1.	$3.21 \sim 3.65 (m, 1H)$,	6.61~
25	H-NMR	m, CDC18	s, 3H),	6.90 \sim 7.70(m,7H),		2.03(s, 3H),	6.00(bs, 1H),	d, 3H, J=	2.02(s, 3H),	6.00(bs, 3H),
nued)	-H ₁	δ (ppm,	2.19(6.90~	ပ္	2.03(6.00(0.50(2.02(6.00(
\$ G Continued)		perties			1111.0 \sim 113.0					
		Physical properties	oily		m.p. 111	oily		resinous		
45	Compound		2			2		တ		
	od w		4		2	2		9		
50	ပိ	SA.	4		4	4		4		

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5	•		:	2.26(s, 3H), 3.72(s, 3H), 6.62(t, 1H, J=5Hz),			•				
]=5							
			IMS	H,		(z)					
10			g	t, 1		= 5 H					
			star	32(H)						
15			standard substance TMS	6.6	7.61(bs, 1H),	8.34(d,2H,J=5Hz)					
73			lard		1 (b	4 (d					
	:		itand	3.11	9	တဲ					
20			σ,	(\$,							
			$\hat{}$	72	(Z)	[z]					
			8	80	=51	= 51					
25			ດຄວ	H),	Н, Ј	Н, Ј					
		N M R	ģ	8,	1, 1	1, 2					
	ed)	1 H-NMR	δ (ppm, cDC1 _s	9(8	3(
30	Table 3 (continued)	-	9	2.2	6.88(t,1H, J=5Hz),	8.23(d, 2H, J=5Hz),	ပ္	ပ္	ပ္	ပ္	
	ont										
35	9			a)			$167.0 \sim 169.0$	$187.0 \sim 189.0$	$204.0 \sim 206.0$	$159.0 \sim 161.0$	
	e 3		es	-crystalline			~	7	~ 2	7 .	
	abl		properties	tal			ò	ò	ò.	ò.	
40	r		orop	rys			167	187	204	159	
			al F	ıi -c					•	•	
			Physical	semi			a.p	g.B	m. p	a. p	
45		pu	Ph								
		Compound		8 1			& &	8 5	9 7	ი	
		Com	Na S	4			4	4 8	4.	2.	
50	1										l

Where compounds of the present invention are used as a fungicide for agricultural and horticultural use, in general, they may be mixed with a suitable carrier, for example, a solid carrier such as clay, talc, bentonite, diatomaceous earth or the like, or a liquid carrier such as water, alcohols (e.g., methanol, ethanol, etc.), aromatic hydrocarbons (e.g., benzene, toluene, xylene, etc.), chlorinated hydrocarbons, ethers, ketones, esters (e.g., ethyl acetate, etc.), acid, amides (e.g., dimethylformamide, etc.) or the like. If desired,

they may be blended with an emulsifier, a dispersing agent, a suspending agent, a penetrating agent, a spreader, a stabilizer and the like to be formed into various practical formulations of liquid, oil, emulsion, wettable powder, powder, granules, flowable or the like.

If desired, they may also be combined with any other herbicides, various insecticides, fungicides, plant growth regulators, synergists and others, in forming them into formulations or in actually sprinkling them onto plants.

The amount of the compound of the present invention to be applied to plants varies, depending upon the place, time, method, plant diseases, growing crops and other conditions. In general, the effective amount is suitably from 0.005 to 50 kg or so per ha (hectare).

Next, some examples of fungicidal formulations of containing the compound of the present invention as an active ingredient are shown below, which, however, are not limitative. In the following examples, "parts" are by weight.

Formulation Example 1: Emulsion

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Compound of the invention	20 parts
Xylene	55 parts
N,N-dimethylformamide	20 parts 55 parts 20 parts
Sorpol 2680 (trade name by Toho Chemical Industry Co.; mixture of nonionic surfactant and anionic surfactant)	5 parts

The above ingredients are uniformly blended to form an emulsion. Before use, the emulsion is diluted to from 1/50 to 1/20000, and the diluted emulsion is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

Formulation Example 2: Wettable powder

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Compound of the invention	25 parts
Zieklite PFP (trade name by Zieklite Industry Co.; mixture of kaolinite and sericite)	66 parts
Sorpol 5039 (trade name by Toho Chemical Industry Co.; anionic surfactant)	4 parts
Carplex #80 (trade name by Shionogi & Co., Ltd.; white carbon)	3 parts
Calcium lignin sulfonate	2 parts

The above ingredients are uniformly blended and milled to form a wettable powder. Before use, the powder is diluted with water to from 1/50 to 1/20000, and the diluted liquid is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

Formulation Example 3: Oil

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Compound of the invention	10 parts
Methyl Cellosolve	90 parts

The above ingredients are uniformly blended to form an oil. For use, this is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

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Formulation Example 4: Powder

Compound of the invention Carplex #80 (trade name by Shionogi & Co., Ltd.; white carbon)	3.0 parts 0.5 parts
Clay	95 parts
Diisopropyl phosphate	1.5 parts

The above ingredients are uniformly blended and milled to form a powder. For use, this is sprayed over a crop filed in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

Formulation Example 5: Granules

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Compound of the invention	5 parts
Bentonite	54 parts
Talc	40 parts
Calcium lignin sulfonate	1 part

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The above ingredients are uniformly blended and milled, and a small amount of water is added thereto and mixed with stirring. The mixture is granulated through an granulating extruder and dried to form granules. For use, the granules are sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

Formulation Example 6: Flowable

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Compound of the invention Sorpol 3353 (trade name by Toho Chemical Industry Co.; nonionic surfactant) Lunox 1000C (trade name by Toho Chemical Industry Co.; anionic surfactant) 1 % Zanthan gum aqueous solution (natural polymer)	25 parts 10 parts 0.5 parts 20 parts
1 % Zanthan gum aqueous solution (natural polymer) Water	20 parts 44.5 parts

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The above ingredients except the active ingredient are uniformly mixed, and the compound of the invention is added thereto and well stirred. The resulting blend is then wet-milled in a sand mill to obtain a flowable. Before use, this is diluted to from 1/50 to 1/20000, and the diluted liquid is sprayed over a crop field in an amount of from 0.005 to 50 kg, as the active ingredient, per ha.

Compounds of the present invention are effective for protecting plants from various plant diseases caused by, for example, Pyricularia oryzae, Cochliobolus miyabeanus, Rhizoctonia solani, Erysiphe graminis f. sp. hordei, f. sp. tritici, Pyrenophora graminea, Pyrenophora teres, Gibberella zeae, Puccinia striiformis, P. graminis, P. recondita, P. hordei, Typhula sp., Micronectriella nivais, Ustilago tritici, U. nuda, Pseudocercosporella herpotrichoides, Rhynchosporium secalis, Septoria tritici, Leptosphaeria nodorum, Dianorthe citri, Elsinoe fawcetti, Penicillium digitatum, P. italicum, Sclerotinia mali, Valsa mali, Podosphaera leucotricha, Alternaria mali, Venturia inaequalis, Venturia nashicola, Alternaria kikuchiana, Gymnosporangium haraeanum, Sclerotinia cinerea, Cladosporium carpophilum, Phomopsis sp., Plasmopara viticola, Elsinoe ampelina, Glomerella cingulata, Uncinula necator, Phakopsora ampelopsidis, Gloeosporium kaki, Cercospora kaki, Mycosphaerella nawae, Pseudoperenospora cubensis, Colletotrichum lagenarium, Sphaerotheca fuliginea, Mycosphaerella melonis, Phytophthora infestans, Alternaria solani, Cladosporium fulvam, Phomopsis vexans, Erysiphe cichoracoarum, Alternaria japonica, Cerocosporella brassicae, Puccinia allii, Cercospora kikuchii, Elsinoe glycines, Diaporthe phaseololum, Colletotrichum lindemuthianum, Mycosphaerella personatum, Cercospora arachidicola, Erysiphe pisi, Alternaria solani, Sphaerotheca humuli, Exobasidium reticulatum, Elsinoe leucospila, Alternaria longipes, Erysiphe cichoracearum, Colletotrichum tabacum, Cercospora beticola, Diplocarpon rosae, Sphaerotheca pannosa, Septoria chrysanthemiindici, Puccinia horiana, Botrytis cinerea, Sclerotinia sclerotiorum, etc.

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The effectiveness of the compounds of the present invention is concretely explained by way of the following test examples, which, however, are not limitative.

Test Example 1: Test for controlling gray mold (Botrytis cinerea)

An emulsion of the compound of the present invention was diluted with water to have a concentration of 500 ppm. This was sprayed over two- or three-leave-stage tomato plants (variety; Fukuju) as grown in a pot having a diameter of 7 cm with a spray gun, in an amount of 20 ml/pot.

On the next day, a suspension of spores of Botrytis cinerea (containing 1.0 % of glucose and 2.5 % yeast extract; 40 spores/visible area (x 150)) was sprayed over the plants, which were then put in a cultivation box having a temperature of 25 °C and a humidity of 95 % or more for 5 days. The region of the infected and spotted leaves to all the treated leaves was measured, and the preventive value of the compound was calculated out from the following equation.

Preventive value = [1-(region of infected leaves in treated group/region of infected leaves in control group)] x 100

As a result, the following compounds of the present invention showed the preventive value of being 100. Compounds No. 5, NO. 49, No. 52, NO. 56, No. 58, No. 59, No. 63, No. 67, No. 68, No. 95, No. 96, No. 99, No. 105, No. 108, No. 110, No. 116, No. 120, No. 124, No. 126, No. 129, No. 132, No. 133, No. 142, No. 154, No. 157, No. 167, No. 172, No. 301, No. 311, No. 312, No. 314, No. 315, No. 316, No. 317, No. 318, No. 319, No. 320, No. 321, No. 322, No. 326, No. 328, No. 343, No. 383, No. 391, No. 402, No. 447.

Text Example 2: Test for controlling sheath blight (Rhizoctonia solani)

An emulsion of the compound of the present invention was diluted with water to have a concentration of 500 ppm. 5 ml/pot of this was applied to three- or four-leave-stage rice plant (variety; Nihonbare) as grown in a pot having a diameter of 5 cm, near the roots of them, and immediately thereafter, 15 ml/pot of this was sprayed over them.

Three days after the treatment, rice hulls as infected with Ahizoctonia solani were put near the roots of the plants and the plants were inoculated.

Then, the pots were put in a cultivation box having a temperature of 28°C and a humidity of 95 % or more. Five days after the inoculation, the height of the infected and spotted leaves of the plants from the earth was measured, and the preventive value of the compound was calculated out from the following equation.

Preventive Value = [1-(height of infected leaves in treated group/height of infected leaves in control group)-] x 100

As a result, the following compounds of the present invention showed the preventive value of being 100. Compounds No. 5, No. 47, No. 49, No. 52, No. 55, No. 56, No. 57, No. 59, No. 68, No. 69, No. 70, No. 71, No. 72, No. 79, No. 81, No. 96, No. 97, No. 99, No. 100, No. 102, No. 105, No. 108, No. 110, No. 113, No. 124, No. 126, No. 129, No. 142, No. 144, No. 146, No. 154, No. 157, No. 167, No. 168, No. 169, No. 172, No. 175, No. 178, No. 179, No. 182, No. 188, No. 194, No. 291, No. 292, No. 301, No. 312, No. 314, No. 316, No. 317, No. 318, No. 319, No. 320, No. 321, No. 322, No. 326, No. 328, No. 363, No. 365, No. 367, No. 383, No. 391, No. 402, No. 435, No. 436, No. 440, No. 441, No. 447, No. 451, No. 481, No. 483, No. 485, No. 499.

Claims

1. Substituted pyrazole derivatives of a general formula [1]:

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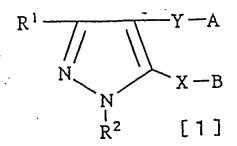
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where R¹ represents a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group, an alkylthio group or a haloalkyl group;

 R^2 represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenylalkyl group, $-COR^6$ or $-SO_2R^7$;

X represents -S-, -SO-, -SO₂-, -N(R³)-, -CO- or - $C(R^4)(R^5)$ -;

R³ represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkylcarbonylalkyl group, an alkoxycarbonylalkyl group, an itroso group, an amino group, an unsubstituted or substituted phenylalkyl group, -COR6 or -SO2R7;

R⁴ and R⁵ independently represent a hydrogen atom, a halogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkynyl group or -OR⁸;

R⁸ represents a hydrogen atom, an alkyl group, a haloalkyl group, an alkenyl group, an alkoxyalkyl group, a cyanoalkyl group, an alkoxyalkyl group, an alkoxycarbonylalkyl group, an unsubstituted or substituted phenylalkyl group, -COR⁶ or -SO₂R⁷;

R⁶ represents a hydrogen atom, an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group, an unsubstituted or substituted phenylalkyl group, an alkoxy group or

$$-N<_{R^{10}}^{R^9}$$
;

R7 represents an alkyl group, a haloalkyl group, an unsubstituted or substituted phenyl group or

$$-N<_{R_{10}}^{R_{9}}$$

R⁹ and R¹⁰ independently represent a hydrogen atom, an alkyl group or an unsubstituted or substituted phenyl group;

Y represents an oxygen atom, -S-, -SO-, or -SO₂-;

A represents an unsubstituted or substituted phenyl group or an unsubstituted or substituted heterocyclic group;

B represents

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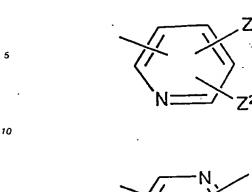
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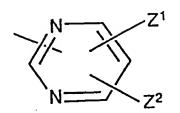
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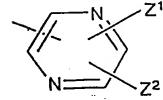
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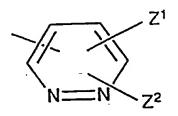
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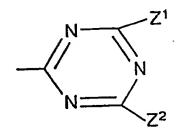
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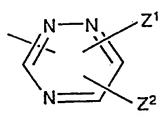












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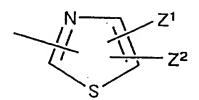
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 Z^1 and Z^2 independently represent a hydrogen atom, a halogen atom, an alkyl group, an alkoxy group or a haloalkyl group.

- 2. Substituted pyrazole derivatives as claimed in claim 1, in which A is a substituted phenyl group.
- 3. Substituted pyrazole derivatives as claimed in claim 1, in which X is -N(R3)-.
- 50 4. Substituted pyrazole derivatives as claimed in claim 2, in which Y is -S-.
 - 5. Substituted pyrazole derivatives as claimed in claim 1, in which R¹ and R² each are a lower alkyl group, X is -N(R³)-, Y is -S-, A is a substituted phenyl group, and B is an unsubstituted pyridyl group or an unsubstituted pyrimidyl group.
 - 6. A fungicide for agricultural and horticultural use, containing one or more substituted pyrazoles as claimed in claim 1, as an active ingredient.

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INTERNATIONAL SEARCH REPORT

International Application No PCT/JP91/01538

1. CLASSIFICATION OF SUBJECT MATTER (if several class	ification symbols apply, indicate all) 4				
According to International Patent Classification (IPC) or to both Nat	tional Classification and IPC Tnt	. C1 ⁵			
C07D401/06,401/12,401/14,403/					
417/14.A01N43/54,43/56,43/58,		· · · · · · · · · · · · · · · · · · ·			
II. FIELDS SEARCHED					
	ntation Searched 7				
Classification System	Classification Symbols				
IPC C07D401/06-401/14, A01N43/54-43/62, 43	403/06-403/14, 417/ /707, 43/78	06-417/14,			
Documentation Searched other to the Extent that such Documents	than Minimum Documentation s are included in the Fields Searched 3				
III. DOCUMENTS CONSIDERED TO BE RELEVANT		I Data and Otalia Name			
Category • Citation of Document, 11 with indication, where app		Relevant to Claim No. 13			
A JP, A, 01-125379 (Sumitor Co., Ltd.), May 17, 1989 (17. 05. 89) (Family: none)		1-5, 6			
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* Special categories of cited documents: 10 "A" document defining the general state of the art which is not considered to be of particular relevance. "E" earlier document but published on or after the international	"T" later document published after the priority date and not in conflict wit understand the principle or theory document of particular relevance; be considered novel or cannot to	h the application but cited to r underlying the invention the claimed invention cannot			
filing date "L" document which may throw doubts on priority claim(s) or	inventive step				
which is cited to establish the publication date of another citation or other special reason (as specified). "O" document referring to an oral disclosure, use, exhibition or	"Y" document of particular relevance; be considered to involve an invent is combined with one or more of combination being obvious to a pre-	tive step when the document ther such documents, such			
other means "P" document published prior to the international filing date but later than the priority date claimed	"&" document member of the same pa				
IV. CERTIFICATION		B			
Date of the Actual Completion of the International Search	Date of Mailing of this International Se	1			
January 8, 1992 (08. 01. 92)	January 28, 1992	(28. 01. 92)			
International Searching Authority	Signature of Manuolited Ometi	'			
Japanese Patent Office	!				

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